EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	836	(548/229).CCLS.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB	OR	OFF	2007/02/04 20:35
L2	337	l1 and oxazolidinone	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/02/04 20:36
L3	242	l2 and base	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/02/04 20:36

EAST Search History

L4	2	l2 and hydroxypropylamine	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/02/04 20:37

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FILE 'HOME' ENTERED AT 16:00:30 ON 04 FEB 2007

=> FILE REG

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 16:00:45 ON 04 FEB 2007
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 2 FEB 2007 HIGHEST RN 919200-33-2
DICTIONARY FILE UPDATES: 2 FEB 2007 HIGHEST RN 919200-33-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

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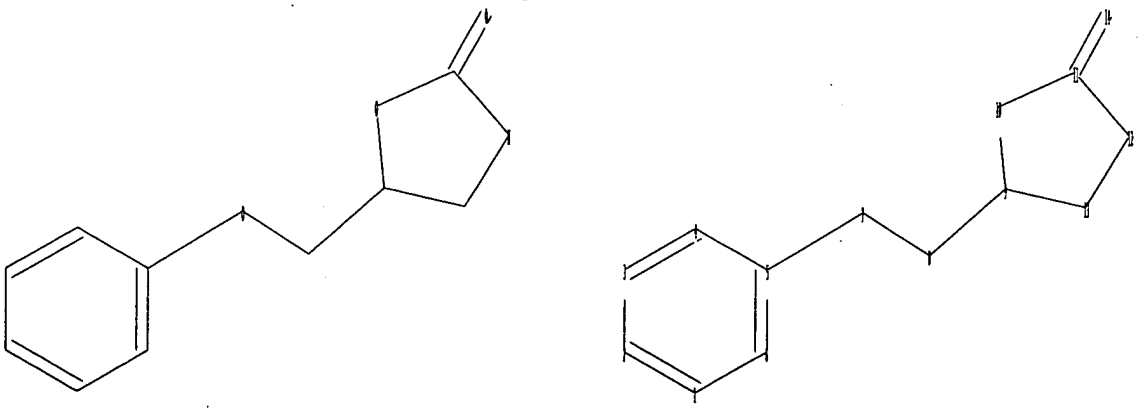
Uploading C:\Program Files\Stnexp\Queries\10501588.str



chain nodes :

SAEED

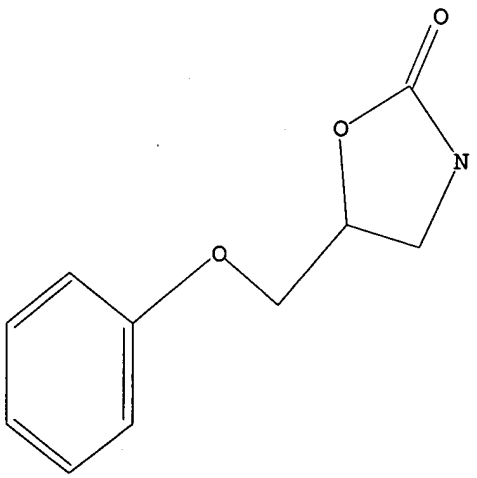
10501588

7 8 14
ring nodes :
1 2 3 4 5 6 9 10 11 12 13
chain bonds :
5-7 7-8 8-9 11-14
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 9-10 9-13 10-11 11-12 12-13
exact/norm bonds :
5-7 7-8 9-10 9-13 10-11 11-12 11-14 12-13
exact bonds :
8-9
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:CLASS

L1 STRUCTURE UPLOADED

=> D
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> S L1
SAMPLE SEARCH INITIATED 16:01:03 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 121 TO ITERATE

100.0% PROCESSED 121 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

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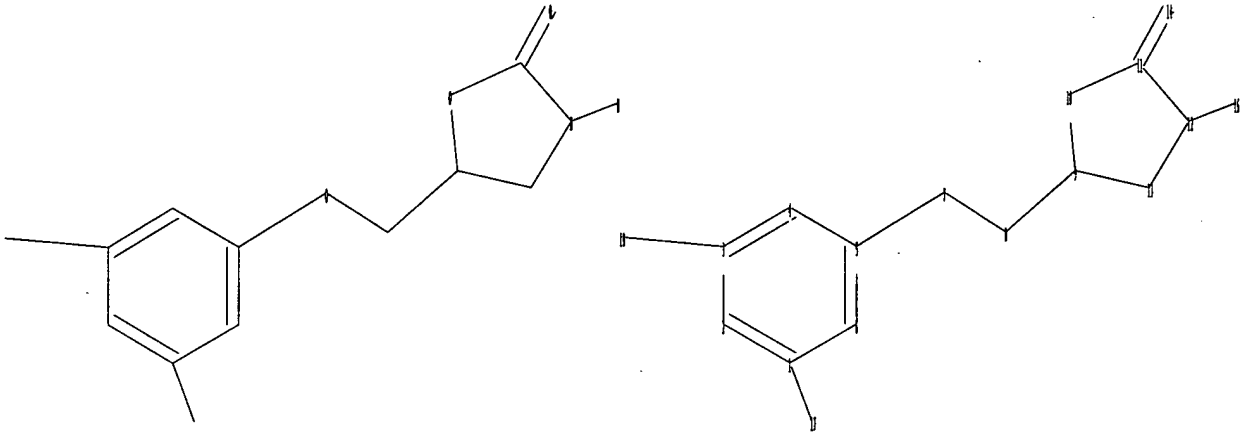
FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1761 TO 3079
PROJECTED ANSWERS: 833 TO 1807

L2 50 SEA SSS SAM L1

=>
Uploading C:\Program Files\Stnexp\Queries\105015881.str



chain nodes :
7 8 14 16 17 18
ring nodes :
1 2 3 4 5 6 9 10 11 12 13
chain bonds :
1-17 3-18 5-7 7-8 8-9 11-14 12-16
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 9-10 9-13 10-11 11-12 12-13
exact/norm bonds :
5-7 7-8 9-10 9-13 10-11 11-12 11-14 12-13
exact bonds :
1-17 3-18 8-9 12-16
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:CLASS 16:CLASS 17:CLASS 18:CLASS

L3 STRUCTURE UPLOADED

=> D
L3 HAS NO ANSWERS
L3 STR

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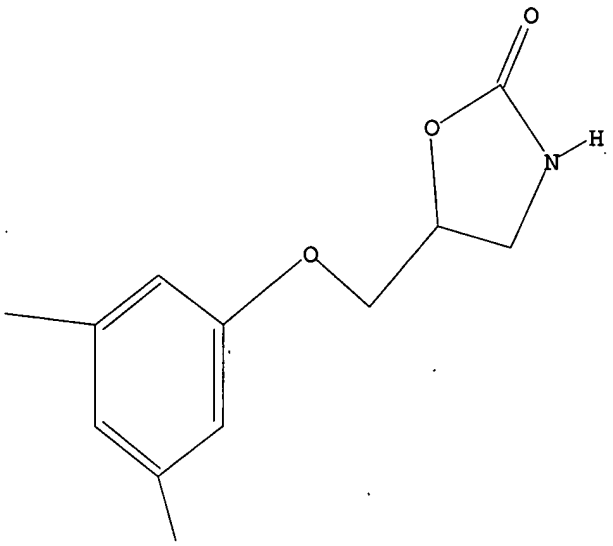

Structure attributes must be viewed using STN Express query preparation.

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=> S L3
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SAMPLE SCREEN SEARCH COMPLETED -       14 TO ITERATE

100.0% PROCESSED       14 ITERATIONS                                1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:           56 TO      504
PROJECTED ANSWERS:               1 TO       80

L4             1 SEA SSS SAM L3

=> S L3 FULL
FULL SEARCH INITIATED 16:03:33 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      284 TO ITERATE

100.0% PROCESSED      284 ITERATIONS                               10 ANSWERS
SEARCH TIME: 00.00.01

L5            10 SEA SSS FUL L3

=> FILE CAPLUS
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   173.90     174.11

FILE 'CAPLUS' ENTERED AT 16:03:39 ON 04 FEB 2007
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FILE COVERS 1907 - 4 Feb 2007 VOL 146 ISS 7
FILE LAST UPDATED: 2 Feb 2007 (20070202/ED)


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=> S L5
L6          69 L5

=> S L6 AND Chloroformate
         21412 CHLOROFORMATE
          1738 CHLOROFORMATES
         22012 CHLOROFORMATE
                 (CHLOROFORMATE OR CHLOROFORMATES)
L7            1 L6 AND CHLOROFORMATE

=> d ibib abs hitstr tot
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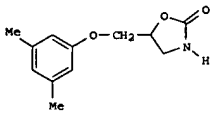
SAEED

L7 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:590924 CAPLUS
DOCUMENT NUMBER: 139:149637
TITLE: Preparation of substantially pure 5-(3,5-dimethylphenoxy)methyl-2-oxazolidinone, i.e., metaxalone
INVENTOR(S): Gandhi, Biren Jaiprakash; Shah, Samir Rameshchandra; Chitturi, Trinadha Rao; Thennati, Rajamannar
PATENT ASSIGNEE(S): Sun Pharmaceutical Industries Limited, India
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003061552	A2	20030731	WO 2003-IN9	20030113
WO 2003061552	A3	20040415		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003223098	A1	20030902	AU 2003-223098	20030113
US 2005075505	A1	20050407	US 2004-501588	20040714
PRIORITY APPLN. INFO.:			IN 2002-MU27 A	20020114
			WO 2003-IN9 W	20030113

OTHER SOURCE(S): CASREACT 139:149637; MARPAT 139:149637
GI



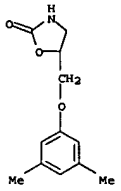
I

AB A process for the preparation of metaxalone I in greater than 99% purity via the condensation of 3-(3,5-dimethylphenoxy)-2-hydroxypropylamine and

L7 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
Y-CO-Z [Y, Z = X, CCl3CO, 1-imidazolyl, etc.; X = halo] in the presence of a polyether facilitator (sic) is disclosed. For example, a mixt. of PEG-400 (50 mL), toluene (500 mL), potassium carbonate powder (0.648 mol), and 3-(3,5-dimethylphenoxy)-2-hydroxypropylamine hydrochloride (0.216 mol), e.g., prepd. from 3,5-dimethylphenol in 2-steps, was heated gradually to reflux during 1.0 h., and then azeotropically refluxed for 3 h. The mixt. was then cooled to 25-30 °C and Et chloroformate (0.228 mol.) was added gradually over 6 h, while maintaining the temp. below 40 °C during the addn. The reaction mixt.was then heated at 50-55 °C for 2 h. The temp. was raised to reflux and refluxed azeotropically for 5.0 h using Dean-Stark condenser. The mixt. was then cooled to 10-15°C, water (150 mL) added and the pH adjusted to 6.5-7.0 by gradual addn. of conc. HCl. After stirring at 10-15 °C for 1 h, the product was sepd. by filtration and washed with toluene (2x 25 mL), followed by water until washings are free from chloride, and dried. The toluene layer from the filtrates were sepd., washed with water (2 x 100 mL) and concd. to one tenth of the vol., cooled to 25-30 °C and the crystd. second crop is filtered to afford metaxalone I in 90% yield and >99 % purity by HPLC.

IT 1665-48-1P, Metaxalone
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(product; preparation of 5-(3,5-dimethylphenoxy)methyl-2-oxazolidinone, i.e., metaxalone)

RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)



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=> d 16 ibib abs hitstr tot

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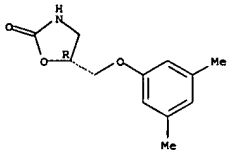
L6 ANSWER 1 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1191593 CAPLUS
DOCUMENT NUMBER: 146:114230
TITLE: Pharmacophoric Fingerprint Method (TOPP) for 3D-QSAR Modeling: Application to CYP2D6 Metabolic Stability
AUTHOR(S): Sciabola, Simone; Morao, Inaki; de Groot, Marcel J.
CORPORATE SOURCE: Laboratorio di Chemiometria, Universita di Perugia, Perugia, I-06123, Italy
SOURCE: Journal of Chemical Information and Modeling (2007), 47(1), 76-84
CODEN: JCISD8; ISSN: 1549-9596
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The application of a new 3-point pharmacophore-fingerprinting package (TOPP, Triplets Of Pharmacophoric Points) to develop QSAR models is discussed. In the CYP2D6 metabolic stability case, these 3D pharmacophoric fingerprints have shown to be as valid as other 3D descriptors and 2D features. Interestingly, it was found in the 3D models that the use of more realistic substrate conformations, by an addnl. docking step, did not improve the statistical results significantly. A detailed anal. of the generated pharmacophoric hypotheses is consistent with the previously proposed dual interaction mode of substrates within the active site of CYP2D6.
IT 918121-83-2
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacophoric fingerprint method for 3D-QSAR modeling and application to CYP2D6 metabolic stability)
RN 918121-83-2 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



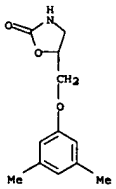
REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1084892 CAPLUS
DOCUMENT NUMBER: 145:410618
TITLE: Metaxalone products, method of manufacture, and method of use
INVENTOR(S): Du, Jie; Roberts, Richard H.
PATENT ASSIGNEE(S): Mutual Pharmaceutical Company, Inc., USA
SOURCE: U.S., 34pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 7122566	B1	20061017	US 2006-364468	20060228
PRIORITY APPLN. INFO.:			US 2005-726861P P	20051014

AB The invention is a method of using the skeletal muscle relaxant metaxalone for treating a patient's condition, comprising providing a patient with metaxalone; and informing the patient or a medical care worker that metaxalone affects activity of a cytochrome P 450 isoenzyme, and that administration of metaxalone with a a second drug that affects activity of a cytochrome P 450 isoenzyme can affect plasma concentration, safety, efficacy or any combination thereof of metaxalone, the second drug, or both. The second drug may have a narrow therapeutic index and be a substrate of CYP1A2, CYP3A4, CYP2B6, CYP2C19, CYP2D6, CYP2E1, or CYP2C9 such as warfarin, phenytoin, fosphenytoin, thioridazine, or theophylline.
IT 1665-48-1, Metaxalone
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of muscle relaxant metaxalone alone and in combination with other drugs in relation to effect on and metabolism by cytochrome P 450 isoenzymes)
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)

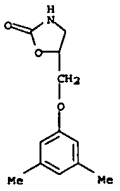
L6 ANSWER 2 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1076328 CAPLUS
TITLE: Performance Evaluation of Thermal Desorption System (TDS) for Detection of Basic Drugs in Forensic Samples by GC-MS
AUTHOR(S): Crifasi, Joseph A.; Bruder, Michael F.; Long, Christopher W.; Janssen, Kimberly
CORPORATE SOURCE: Saint Louis University Forensic Toxicology Laboratory, St. Louis, MO, USA
SOURCE: Journal of Analytical Toxicology (2006), 30(8), 581-592
CODEN: JATOD3; ISSN: 0146-4760
PUBLISHER: Preston Publications
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Stir bar sorptive extraction is an innovative sample extraction technique that can be used to process blood, urine, and tissue samples for routine drug screening in the forensic toxicol. laboratory The Gerstel Twister desorption unit (TDU) system is a multifunctional desorption unit capable of determining the presence of analytes from liquid samples after extraction using the Twister stir bar. The TDU desorption system was evaluated for use in combination with gas chromatog.-mass spectrometry (GC-MS) for determining the presence of basic drugs in forensic samples. Human blood fortified with known quantities of drugs was used to evaluate sample diluents, extraction time, injection parameters and recovery. Case specimens containing drugs typically encountered in forensic samples were evaluated using the desorption method and compared with a liquid-liquid extraction method followed by GC-MS anal. This evaluation demonstrated that the TDU desorptive method worked equally as well as the routine extraction method for the detection of basic drugs in screening forensic samples. In addition, the described technique avoids the use of extraction solvents and the subsequent centrifugation, transfer, and concentration steps required of liquid-liquid and solid-phase extraction methods. (c) 2006 Preston Publications.
IT INDEXING IN PROGRESS
IT 1665-48-1, Metaxalone
RL: ANT (Analyte); ANST (Analytical study)
(thermal desorption system for detection of basic drugs in forensic GC-MS)
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)

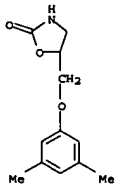
L6 ANSWER 3 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L6 ANSWER 4 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



L6 ANSWER 4 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:796301 CAPLUS
DOCUMENT NUMBER: 145:195606
TITLE: Crystal modification of 5-substituted-2-oxazolidinone derivative
INVENTOR(S): Chandavarkar, Mohan Anand; Bapat, Rajaram Uday; Khare,
Vivek Manohar
PATENT ASSIGNEE(S): Fdc Limited, India
SOURCE: PCT Int. Appl., 14pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006082597	A2	20060810	WO 2006-IN24	20060124
WO 2006082597	A3	20061221		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: IN 2005-MU71 A 20050124

AB The present invention discloses crystalline polymorphic Form I of 5-(3,5-dimethylphenoxy)methyl-2-oxazolidinone, characterized by x-ray diffraction pattern having peaks at 10.355, 14.285, 18.625, 19.030, 20.810
and 22.475°, and m.p. ranging 122.50-124.0° which is characterized by DSC. The present invention further discloses processes for the preparation thereof, pharmaceutical prepns. comprising the the polymorph and its use in the treatment of depression of central synaptic transmissions.

IT 1665-48-1, 5-(3,5-Dimethylphenoxy)methyl-2-oxazolidinone
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)
(crystal modification of dimethylphenoxy(methyl)oxazolidinone polymorph)

RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 5 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:657349 CAPLUS
DOCUMENT NUMBER: 145:110416
TITLE: Pharmaceutical composition comprising combination of non-alkaloid and alkaloid-based component for treating
skeletal muscle spasm
INVENTOR(S): Lundeen, James E.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 8 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

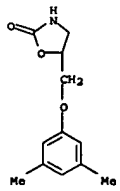
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006148841	A1	20060706	US 2005-66611	20050225

PRIORITY APPLN. INFO.: US 2004-547943P P 20040226

AB A medicinal composition that may include an effective amount of a non-alkaloid
and an alkaloid-based skeletal muscle relaxant are provided. A method that includes administering the medicinal composition to a human in an amount
effective to treat a muscle spasm is provided. The medicinal composition may
include an alkaloid-based and a non-alkaloid-based skeletal muscle relaxant. A kit for treating a muscle spasm, and a system for treating the same are also provided.

IT 1665-48-1, Metaxalone
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(composition comprising combination of non-alkaloid and alkaloid-based component for treating skeletal muscle spasm)

RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 6 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:631165 CAPLUS
DOCUMENT NUMBER: 145:110313
TITLE: Pharmaceutical compositions comprising an agent with serotonin receptor modulating activity for sleep disorders
INVENTOR(S): Rariy, Roman V.; Heffernan, Michael
PATENT ASSIGNEE(S): Collegium Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006069030	A1	20060629	WO 2005-US46049	20051220

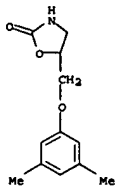
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2004-637655P P 20041220

AB Pharmaceutical compns. are provided for the pharmacol. treatment of breathing disorders and, more specifically, to compns. containing agents having serotonin receptor modulating activity for the alleviation of sleep apnea (central and obstructive) and other sleep-related breathing disorders wherein the active ingredients are released such as to extend effective blood plasma concns. across the period of sleep. For example, ondansetron immediate release tablets were prepared containing ondansetron HCl dihydrate 9.98 mg, lactose 29.14 mg, Prosolv 50 29.14 mg, Ac-Di-Sol 3.75 mg, SDS 1.5 mg, Aerosil 0.75 mg, and Mg stearate 0.75 mg. Ondansetron immediate release tablets were then coated with Eudragit L100/S100 blend to obtain delayed release tablets.

IT 1665-48-1, Metaxalone
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oral compns. comprising serotonin receptor modulator for treatment of sleep disorders)
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 6 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:437469 CAPLUS
DOCUMENT NUMBER: 144:425696
TITLE: Use of a corticosteroid in association with a diuretic and an antacid for the treatment of vascular stenosis and the prevention of vascular restenosis
INVENTOR(S): Ribichini, Flavio; Vassanelli, Corrado
PATENT ASSIGNEE(S): Universita' Degli Studi del Piemonte Orientale "A. Avogadro", Italy
SOURCE: PCT Int. Appl., 18 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006048736	A1	20060511	WO 2005-IB3271	20051102

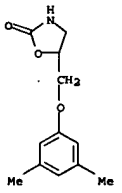
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: IT 2004-TO760 A 20041103

AB The invention discloses the use of at least one corticosteroid in association with at least one diuretic and at least one antacid for the preparation of a medicament for the treatment of vascular stenosis and the prevention of vascular restenosis. Preferably the corticosteroid, the diuretic and the antacid are administered orally. Preferably the corticosteroid is prednisone, the diuretic is furosemide and the antacid is omeprazole.

IT 1665-48-1, Metazolone
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(corticosteroid in association with diuretic and antacid for treatment of vascular stenosis and prevention of vascular restenosis)
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 7 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



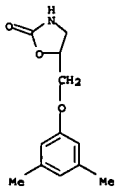
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:395307 CAPLUS
DOCUMENT NUMBER: 145:137305
TITLE: Quantification of Metaxalone in Human Plasma by Liquid Chromatography Coupled to Tandem Mass Spectrometry
AUTHOR(S): Nirogi, Ramakrishna V. S.; Kandikere, Vishwottam N.; Shukla, Manoj; Mudigonda, Koteshwara; Shrivastava, Wishu; Datla, Praveen V.
CORPORATE SOURCE: Biopharmaceutical Research, Serene Chambers, Suven Life Sciences, Ltd., Hyderabad, 500034, India
SOURCE: Journal of Analytical Toxicology (2006), 30(4), 245-251
CODEN: JATODJ; ISSN: 0146-4760
PUBLISHER: Preston Publications
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A simple, rapid, sensitive, and selective liquid chromatog.-tandem mass spectrometry (MS) method was developed and validated for the quantification of metaxalone, a skeletal muscle relaxant, in human plasma using galantamine as internal standard (IS). Following liquid-liquid extraction, the analytes were separated using an isocratic mobile phase on a reverse phase C18 column and analyzed by MS in the multiple reaction monitoring mode using the resp. (M+H)+ ions, m/z 222/161 for metaxalone and m/z 288/213 for the IS. The assay exhibited a linear dynamic range of 50ETH5000 μg/L for metaxalone in human plasma. The lower limit of quantification was 50 μg/L with a relative standard deviation of < 10%. Acceptable precision and accuracy were obtained for concns. over the standard curve range. A run time of 2.5 min for each sample made it possible to analyze more than 400 human plasma samples per day. The validated method has been successfully used to analyze human plasma samples for application in pharmacokinetic, bioavailability, or bioequivalence studies. (c) 2006 Preston Publications.

IT 1665-48-1, Metaxalone
RL: ANT (Analyte); ANST (Analytical study)
(quantification of metaxalone in human plasma by liquid chromatog. coupled to tandem mass spectrometry)
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 8 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:333392 CAPLUS
DOCUMENT NUMBER: 144:338222
TITLE: Oral liquid suspensions of metaxalone
INVENTOR(S): Singla, Ajay Kumar; Rao, Malluru Subba; Monif, Tausif
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 14 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

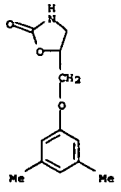
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006018814	A2	20060223	WO 2005-IB52705	20050816
WO 2006018814	A3	20060824		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: IN 2004-DE1509 A 20040816

AB The present invention relates to liquid oral suspension dosage forms of metaxalone and processes for their preparation

IT 1665-48-1, Metaxalone
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oral liquid suspensions of metaxalone)
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)



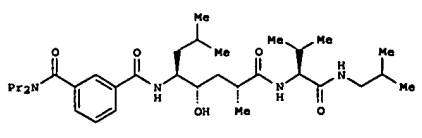
L6 ANSWER 10 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:298659 CAPLUS
DOCUMENT NUMBER: 144:350978
TITLE: Preparation of pseudopeptides which inhibit β-secretase activity
INVENTOR(S): Ghosh, Arun; Lei, Hui; Devasamudram, Thippeswamy; Liu, Chunfeng; Tang, Jordan; Bilcer, Geoffrey
PATENT ASSIGNEE(S): Zapaq, Inc., USA; The Board of Trustees of the University of Illinois; Oklahoma Medical Research Foundation
SOURCE: PCT Int. Appl., 109 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006034277	A1	20060330	WO 2005-US33678	20050919

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2004-610874P P 20040917

OTHER SOURCE(S): MARPAT 144:350978
GI



I

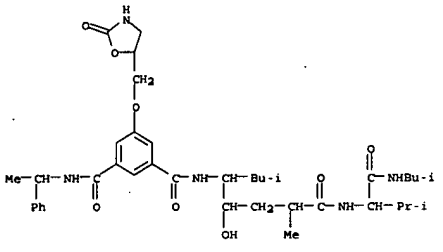
AB The invention provides compds. A6-L6-A5-L5-(CHR2)nCONHCH(L1-R1)CH(OH)CH2CH(L3-R3)CONR5-L4-R4 [n is 0 or 1; A5 is (un)substituted cycloalkylene, heterocycloalkylene, arylene or heteroarylene; A6 is (un)substituted cycloalkyl, heterocycloalkyl, aryl or heteroaryl; R1, R3 are independently amino groups, OH, alkoxy, acyl, N3, H, alkyl, aryl, amino acid side chain, etc.; R2, R4, R5 are independently H, (un)substituted alkyl, heteroalkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl or -L7-Y, where L7 is a bond, OP(OH)2O, carboxylic ester, etc.

L6 ANSWER 10 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
and Y is a carrier moiety; L1, L3 are independently (un)substituted alkylene or heteroalkylene; L4 is a bond, CO, (un)substituted alkylene or heteroalkylene; L5, L6 are independently a bond, CO, O, imino, S, (un)substituted alkylene or heteroalkylene, etc.] which are β-secretase inhibitors for use in treating Alzheimer's disease. The synthesis of exemplary isostere inhibitor I is described. A table shows Ki values for inhibition of memapsin 2 β-secretase and cathepsin D activities by compds. of the invention.

IT 881478-93-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pseudopeptides which inhibit β-secretase activity)

RN 881478-93-9 CAPLUS

CN 1,3-Benzenedicarboxamide, N-[2-hydroxy-4-methyl-5-[[2-methyl-1-[[(2-methylpropyl)amino]carbonyl]propyl]amino]-1-(2-methylpropyl)-5-oxopentyl]-5-[(2-oxo-5-oxazolidinyl)methoxy]-N'-(1-phenylethyl)- (9CI) (CA INDEX NAME)

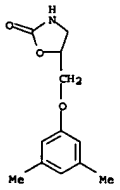


REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 1665-48-1 CAPLUS

CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 11 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:191976 CAPLUS
DOCUMENT NUMBER: 144:273755
TITLE: Preparation of prodrugs containing novel biocleavable linkers
INVENTOR(S): Satyam, Apparao
PATENT ASSIGNEE(S): Nicholas Piramal India Ltd., India
SOURCE: U.S. Pat. Appl. Publ., 181 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006046967	A1	20060302	US 2005-213396	20050826
US 2006205674	A2	20060914		
WO 2006027711	A2	20060316	WO 2005-IB52797	20050826

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2004-604632P P 20040826
IN 2005-MU779 A 20050701

OTHER SOURCE(S): MARPAT 144:273755

AB The invention provides compds. D1-L1-E-A-B-A1-E-(L-E-A1-B-A-E)0-2-L2-D2 [B is a bond, (CH2)1-6, (CH2CH2O)1-1000, S-S, S-S:O, S-SO2 or S-S:NH; A, A1 are independently a bond, (CH2)1-8, 1,2-, 1,3- or 1,4-phenylene; D1 is a therapeutic agent having one or more functional groups OH, SH, NHR1, CO2H, CONHR1, O2CNHR1, SO2NHR1, SO2NHR1, NR1CONHNHR1 or NR1SO2NHR1 (R1 is H, alkyl, aryl, etc.); D2 is D1, a peptide, protein, monoclonal antibody, vitamin, NO, NO2, NONOate, a nitric oxide-releasing group, a polymer, etc.; E is independently CH2 or a bond; L1, L2 are independently a bond, O, S, NR1, L, or a linkage] or their pharmaceutically-acceptable salts for use as prodrugs, including NO-releasing prodrugs. Thus, aspirin prodrug 2-AcOC6H4CONHCH2CH2SSCH2CH2ONO2 was prepared and shown to release salicylate in rats in a sustained and controlled manner starting from 1 h through 12 h.

IT 1665-48-1, Metaxalone
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of prodrugs containing novel biocleavable linkers)

L6 ANSWER 12 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:100738 CAPLUS
DOCUMENT NUMBER: 144:198849
TITLE: Novel dosage form comprising modified-release and immediate-release active ingredients
INVENTOR(S): Vaya, Navin; Karan, Rajesh Singh; Sadanand, Sunil; Gupta, Vinod Kumar
PATENT ASSIGNEE(S): India
SOURCE: U.S. Pat. Appl. Publ., 49 pp., Cont.-in-part of U.S. Ser. No. 630,446.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006024365	A1	20060202	US 2005-134633	20050519
IN 193042	A1	20040626	IN 2002-MU697	20020805
US 2004096499	A1	20040520	US 2003-630446	20030729

PRIORITY APPLN. INFO.: IN 2002-MU697 A 20020805
IN 2002-MU699 A 20020805
IN 2003-MU80 A 20030122
IN 2003-MU82 A 20030122
US 2003-630446 A2 20030729

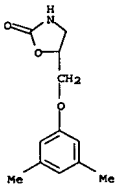
AB A dosage form comprising of a high dose, high solubility active ingredient as modified release and a low dose active ingredient as immediate release where the weight ratio of immediate release active ingredient and modified release active ingredient is from 1:10 to 1:15000 and the weight of modified release active ingredient per unit is from 500 mg to 1500 mg; a process for preparing the dosage form. Tablets containing 10 mg sodium pravastatin and 1000 mg niacin were prepared The release of sodium pravastatin after 24 h was 67.7%, and the release of niacin after 1 h was 84.1%.

IT 1665-48-1, Metaxalone
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(novel dosage form comprising modified-release and immediate-release active ingredients)

RN 1665-48-1 CAPLUS

CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 12 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



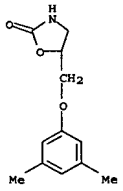
L6 ANSWER 13 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:44967 CAPLUS
DOCUMENT NUMBER: 144:205230
TITLE: Probabilistic Neural Network Model for the In Silico Evaluation of Anti-HIV Activity and Mechanism of Action
AUTHOR(S): Vilar, Santiago; Santana, Lourdes; Uriarte, Eugenio
CORPORATE SOURCE: Faculty of Pharmacy, Department of Organic Chemistry, University of Santiago de Compostela, Santiago de Compostela, 15782, Spain
SOURCE: Journal of Medicinal Chemistry (2006), 49(3), 1118-1124
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A theor. model has been developed that discriminates between active and nonactive drugs against HIV-1 with four different mechanisms of action for the active drugs. The model was built up using a probabilistic neural network (PNN) algorithm and a database of 2720 compds. The model showed an overall accuracy of 97.34% in the training series, 85.12% in the selection series, and 84.78% in an external prediction series. The model not only correctly classified a very heterogeneous series of organic compds. but also discriminated between very similar active/nonactive chems. that belong to the same family of compds. More specifically, the model recognized 96.02% of nonactive compds., 94.24% of active compds. that inhibited reverse transcriptase, 97.24% of protease inhibitors, 97.14% of virus uncoating inhibitors, and 90.32% of integrase inhibitors. The results indicate that this approach may represent a powerful tool for modeling large databases in QSAR with applications in medicinal chemical

IT 1665-48-1, Metaxalone
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(probabilistic neural network model for In silico evaluation of anti-HIV activity and mechanism of action)

RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 13 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

REFERENCE COUNT: 80 THERE ARE 80 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

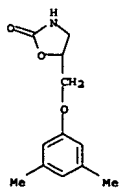
L6 ANSWER 14 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1142200 CAPLUS
DOCUMENT NUMBER: 144:306509
TITLE: Investigation of an LC-MS-MS (QTrap) method for the rapid screening and identification of drugs in postmortem toxicology whole blood samples
AUTHOR(S): Herrin, George L.; McCurdy, H. Horton; Wall, William H.
CORPORATE SOURCE: GBI Division of Forensic Sciences, Decatur, GA, 370808, USA
SOURCE: Journal of Analytical Toxicology (2005), 29(7), 599-606
CODEN: JATOD3; ISSN: 0146-4760
PUBLISHER: Preston Publications
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The QTrap liquid chromatog.-tandem mass spectrometry (LC-MS-MS) by Applied Biosystems was investigated as an adjunct to enzyme immunoassay (EIA) for the rapid detection of drugs in blood. Thus, a procedure used to identify drugs in whole blood by EIA was extended to LC-MS-MS anal. A multiple reaction monitoring (MRM) database of over 100 drugs was constructed to analyze for those drugs commonly observed in postmortem toxicol. cases. The QTrap method provided for a scan time of only 2.8 s to produce both an MRM and an enhanced product ion scan. Various validation and developmental steps of the method are presented, as well as a concordance study as a final means of validation. This study was conducted to compare the effectiveness of the QTrap vs. conventional extraction methods and gas chromatog.-MS for the identification of drugs in 95 postmortem samples. The more than 400 drug results in this study showed 100% concordance between the two techniques.

IT 1665-48-1, Metaxalone
RL: ANT (Analyte); ANST (Analytical study)
(LC-MS-MS (QTrap) method for rapid screening and identification of drugs in postmortem toxicol. whole blood samples)

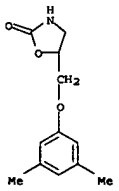
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 14 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

L6 ANSWER 15 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L6 ANSWER 15 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1021596 CAPLUS
DOCUMENT NUMBER: 143:311987
TITLE: Bioavailable solid dosage forms of metaxalone
INVENTOR(S): Spireas, Spiridon
PATENT ASSIGNEE(S): USA
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005087204	A1	20050922	WO 2005-US7480	20050308
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005221659	A1	20050922	AU 2005-221659	20050308
CA 2563739	A1	20050922	CA 2005-2563739	20050308
US 2005276844	A1	20051215	US 2005-75170	20050308
PRIORITY APPLN. INFO.:			US 2004-551257P	P 20040308
			WO 2005-US7480	W 20050308

AB Pharmaceutical compns. comprising metaxalone which demonstrate improved dissoln. and bioavailability characteristics compared to the com. available product, and methods of producing them are provided. In a preferred embodiment, a dosage form comprising metaxalone and at least one inactive powder excipient is bioequivalent to its com. available counterpart (Skelaxin 400-mg tablets) after oral administration to fasting or non-fasting human subjects, while at the same time displaying faster drug dissoln. rates than the Skelaxin tablets as demonstrated from three different dissoln. tests. In another preferred embodiment, a dosage form comprising metaxalone, at least one inactive powder excipient and a nonvolatile liquid is significantly more bioavailable than the com. available Skelaxin 400-mg tablets after oral administration to fasting human subjects.

IT 1665-48-1, Metaxalone
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(bioavailable solid dosage forms of metaxalone)

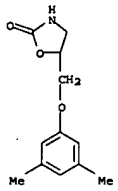
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 16 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:485667 CAPLUS
DOCUMENT NUMBER: 143:165983
TITLE: Ligand-Based Virtual Screening and in Silico Design of New Antimalarial Compounds Using Nonstochastic and Stochastic Total and Atom-Type Quadratic Maps
AUTHOR(S): Marrero-Ponce, Yovani; Iyarreta-Veitia, Maite; Montero-Torres, Alina; Romero-Zaldivar, Carlos; Brandt, Carlos A.; Avila, Priscilla E.; Kirchgatter, Karin; Machado, Yanetsy
CORPORATE SOURCE: Department of Pharmacy, Faculty of Chemical Pharmacy and Department of Drug Design, Chemical Bioactive Center, Central University of Las Villas, Santa Clara, Villa Clara, 54830, Cuba
SOURCE: Journal of Chemical Information and Modeling (2005), 45(4), 1082-1100
CODEN: JCISD8; ISSN: 1549-9596
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:165983

AB Malaria has been one of the most significant public health problems for centuries. It affects many tropical and subtropical regions of the world. The increasing resistance of Plasmodium spp. to existing therapies has heightened alarms about malaria in the international health community. Nowadays, there is a pressing need for identifying and developing new drug-based antimalarial therapies. In an effort to overcome this problem, the main purpose of this study is to develop simple linear discriminant-based quant. structure-activity relation (QSAR) models for the classification and prediction of antimalarial activity using some of the TOMOCOMD-CARDD (TOpol. Mol. COMputer Design-Computer Aided "Rational" Drug Design) fingerprints, to enable computational screening from virtual combinatorial datasets. In this sense, a database of 1562 organic chems. having great structural variability, 597 of them antimalarial agents and 965 compds. having other clin. uses, was analyzed and presented as a helpful tool, not only for theor. chemists but also for other researchers in this area. This series of compds. was processed by a k-means cluster anal. to design training and predicting sets. Afterward, two linear classification functions were derived to discriminate between antimalarial and nonantimalarial compds. The models (including nonstochastic and stochastic indexes) correctly classify more than 93% of the compound set, in both training and external prediction datasets. They showed high Matthews' correlation coeffs., 0.889 and 0.866 for the training set and 0.855 and 0.857 for the test one. The models' predictivity was also assessed and validated by the random removal of 10% of the compds. to form a new test set, for which predictions were made using the models. The overall means of the correct classification for this process (leave group 10% full-out cross validation) using the equations with nonstochastic and stochastic atom-based quadratic fingerprints were 93.93% and 92.77%, resp. The quadratic maps-based TOMOCOMD-CARDD approach implemented in this work was successfully compared with four of the most useful models for antimalarials selection reported to date. The developed models were then

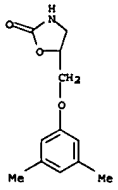
L6 ANSWER 16 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
used in a simulation of a virtual search for Ras FTase (FTase = farnesyltransferase) inhibitors with antimalarial activity; 70% and 100% of the 10 inhibitors used in this virtual search were correctly classified, showing the ability of the models to identify new lead antimalarials. Finally, these two QSAR models were used in the identification of previously unknown antimalarials. In this sense, three synthetic intermediaries of quinolinic compds. were evaluated as active/inactive ones using the developed models. The synthesis and biol. evaluation of these chems. against two malaria strains, using chloroquine as a ref., was performed. An accuracy of 100% with the theor. predictions
was obsd. Compd. 3 showed antimalarial activity, being the first report of an arylaminomethylenemalonate having such behavior. This result opens a door to a virtual study considering a higher variability of the structural core already evaluated, as well as of other chems. not included
in this study. We conclude that the approach described here seems to be a
promising QSAR tool for the mol. discovery of novel classes of antimalarial drugs, which may meet the dual challenges posed by drug-resistant parasites and the rapid progression of malaria illnesses.
IT 1665-48-1, Metaxalone
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ligand-based virtual screening and design of antimalarial compds.)
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 111 THERE ARE 111 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 17 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:460617 CAPLUS
DOCUMENT NUMBER: 144:186912
TITLE: Examination of 209 drugs for inhibition of cytochrome P450 2C8
AUTHOR(S): Walsky, Robert L.; Gaman, Emily A.; Obach, R. Scott
CORPORATE SOURCE: Pharmacokinetics, Pharmacodynamics, and Drug Metabolism, Pfizer Global Research and Development, Groton/New London Laboratories, Groton, CT, USA
SOURCE: Journal of Clinical Pharmacology (2005), 45(1), 68-78
CODEN: JCPCBR; ISSN: 0091-2700
PUBLISHER: Sage Publications
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Cytochrome P 450 2C8 is involved in the metabolism of drugs such as paclitaxel, repaglinide, rosiglitazone, and cerivastatin, among others. An in vitro assessment of 209 frequently prescribed drugs and related xenobiotics was carried out to examine their potential to inhibit CYP2C8. A validated sensitive, moderate-throughput high-performance liquid chromatog./tandem mass spectrometry(HPLC/MS/MS) assay was used to detect N-desethylamodiaquine, the CYP2C8-derived major metabolite of amodiaquine metabolism, using heterologously expressed recombinant CYP2C8 (rhCYP2C8) and
pooled human liver microsomes. The 209 drugs were first tested at 30 μM for their ability to inhibit rhCYP2C8. Forty-eight compds. exhibited greater than 50% inhibition and were further evaluated for measurement of IC50. The six most potent inhibitors (IC50 <1 μM) from this set were measured for IC50 in pooled human liver microsomes, and the most potent inhibitor identified was the leukotriene receptor antagonist, montelukast (IC50 = 19.6 nM). Inhibitors of CYP2C8 were identified from a
wide variety of therapeutic classes, with no single class predominating. Other potent inhibitors included candesartan cilexetil (cyclohexylcarbonate ester prodrug of candesartan), zafirlukast, clotrimazole, felodipine, and mometasone furoate. Seventeen moderate inhibitors of rhCYP2C8 (1 < IC50 < 10 μM) included salmeterol, raloxifene, fenofibrate, ritonavir, levothyroxine, tamoxifen, loratadine, quercetin, oxybutynin, medroxyprogesterone, simvastatin, ketoconazole, ethinyl estradiol, spironolactone, lovastatin, nifedipine, and irbesartan.
These in vitro data were used along with clin. pharmacokinetic information
in predicting potential drug-drug interactions that could occur by inhibition of CYP2C8. Although almost all drugs tested are not expected to cause drug interactions via inhibition of CYP2C8, montelukast was identified as being of concern as a potential inhibitor of clin. relevance. These findings are discussed in context to potential drug interactions that could be observed between these agents and drugs for which
CYP2C8 is involved in metabolism and warrant investigation of the possibility
of clin. drug interactions mediated by inhibition of this enzyme.
IT 1665-48-1, Metaxalone
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(examination of 209 drugs for inhibition of cytochrome P 450 2C8)

L6 ANSWER 17 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 18 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:426243 CAPLUS
DOCUMENT NUMBER: 142:451862
TITLE: Polymer-coated multi-particulate modified release oral
dosage forms of skeletal muscle relaxants
INVENTOR(S): Venkatesh, Gopi; Clevenger, James M.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 12 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

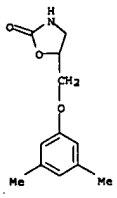
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005106247	A1	20050519	US 2003-713929	20031114
WO 2005048996	A2	20050602	WO 2004-US37266	20041109
WO 2005048996	A3	20050915		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

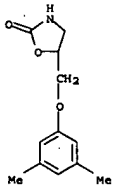
PRIORITY APPLN. INFO.: US 2003-713929 A 20031114

AB The present invention provides a modified release multi-particulate oral dosage forms of skeletal muscle relaxants comprising one or more bead populations which provides an extended release profile of active ingredient. Bead population typically comprises a coating of a water insol. polymer alone, or in combination with a water soluble polymer. For
example, immediate release beads were prepared by spray coating of 2.5 kg of
cyclobenzaprine hydrochloride solution onto 7.3 kg of sugar spheres. The drug-containing pellets were dried, and a seal coat Opadry Clear 2% was applied. Extended release coating comprising Et cellulose 910 g and di-Et
phthalate 90 g was applied to immediate release beads. Cyclobenzaprine hydrochloride modified-release capsules were prepared from extended release
beads to maintain an adequate plasma concentration-time profile, thereby providing relief of muscle spasm over a 24 h period.
IT 1665-48-1, Metaxalone
RL: PKT (Pharmacokinetics); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(extended release beads for oral dosage forms of skeletal muscle relaxants)
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 18 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



L6 ANSWER 19 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 91 THERE ARE 91 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L6 ANSWER 19 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:244333 CAPLUS
DOCUMENT NUMBER: 143:307
TITLE: Atom, atom-type, and total nonstochastic and stochastic quadratic fingerprints: a promising approach for modeling of antibacterial activity
AUTHOR(S): Marrero-Ponce, Yovani; Medina-Marrero, Ricardo; Torrens, Francisco; Martinez, Yamile; Romero-Zaldivar, Vicente; Castro, Eduardo A.
CORPORATE SOURCE: Department of Pharmacy, Faculty of Chemical-Pharmacy, Central University of Las Villas, Santa Clara, 54830, Cuba
SOURCE: Bioorganic & Medicinal Chemistry (2005), 13(8), 2881-2899
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The Topol. Mol. Computer Design (TOMOCOMD-CARDD) approach has been introduced for the classification and design of antimicrobial agents using computer-aided mol. design. For this propose, atom, atom-type, and total quadratic indexes have been generalized to codify chemical structure information. In this sense, stochastic quadratic indexes have been introduced for the description of the mol. structure. These stochastic fingerprints are based on a simple model for the intramol. movement of all valence-bond electrons. In this work, a complete data set containing 1006 antimicrobial agents is collected and presented. Two structure-based antibacterial activity classification models have been generated. The models (including nonstochastic and stochastic indexes) classify correctly more than 90% of 1525 compds. in training sets. These models permit the correct classification of 92.28% and 89.31% of 505 compds. in an external test sets. The approach, also, satisfactorily compares with respect to nine of the most useful models for antimicrobial selection reported to date. Finally, a virtual screening of 87 new compds. reported in the anti-infective field with antibacterial activities is developed showing the ability of the models to identify new leads as antibacterial.

IT 1665-48-1, Metaxalone
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(atom, atom-type, and total nonstochastic and stochastic quadratic fingerprints as promising approach for modeling antibacterial activity)
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)

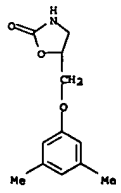
L6 ANSWER 20 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:238545 CAPLUS
DOCUMENT NUMBER: 142:291446
TITLE: Methods and kits for monitoring resistance to therapeutic agents
INVENTOR(S): Cantor, Thomas L.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 24 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005059023	A1	20050317	US 2003-664263	20030916
PRIORITY APPLN. INFO.:			US 2003-664263	20030916

AB The invention relates to novel methods and kits for monitoring the therapeutic inactivating capacity of a subject. The invention further relates to methods and kits for determining and/or monitoring a therapeutic protocol for a subject afflicted with auto-antibodies specific for a natural substance, wherein these auto antibodies develop as a result of therapeutic administration of the natural substance or an analog thereof. These methods and kits can be used, for example, to initiate, terminate, or adjust the level of administration of any of a variety of therapeutic agents.

IT 1665-48-1, SKELAXIN
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(methods and kits for monitoring resistance to therapeutic agents)
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)

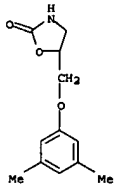


L6 ANSWER 21 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:158522 CAPLUS
DOCUMENT NUMBER: 142:246155
TITLE: Novel nanoparticulate metaxalone compositions comprising surface stabilizers and use for treating musculoskeletal disorders
INVENTOR(S): Pruitt, John D.; Ryde, Tuula A.; Bosch, William H.
PATENT ASSIGNEE(S): Elan Pharma International, Ltd., Ire.
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005016310	A1	20050224	WO 2004-US19108	20040726
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2534924	A1	20050224	CA 2004-2534924	20040726
EP 1651189	A1	20060503	EP 2004-776615	20040726
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
JP 2007501839	T	20070201	JP 2006-523181	20040726
US 2005063913	A1	20050324	US 2004-912552	20040806
PRIORITY APPLN. INFO.:			US 2003-493446P	P 20030808
			WO 2004-US19108	W 20040726

AB The present invention relates to novel compns. of metaxalone, comprising metaxalone particles having an effective average particle size of less than about 2000 nm and at least one surface stabilizer that is preferably adsorbed to or associated with the surface of the drug particles. The invention further discloses a method of making a nanoparticulate metaxalone composition comprising contacting metaxalone and at least one surface stabilizer for a time and under conditions sufficient to provide a nanoparticulate metaxalone composition The one or more surface stabilizers can be contacted with metaxalone either before, preferably during, or after size reduction of the metaxalone. The present invention is also directed to methods of treatment using the nanoparticulate metaxalone compns. of the invention for treatment of musculoskeletal disorders.
IT 1665-48-1, Metaxalone

L6 ANSWER 21 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(novel nanoparticulate metaxalone compns. comprising surface stabilizers and use for treating musculoskeletal disorders)
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)



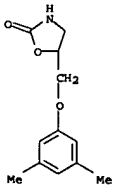
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 22 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:1156454 CAPLUS
DOCUMENT NUMBER: 142:69205
TITLE: Topical therapy for the treatment of migraines, muscle sprains, muscle spasm, spasticity and related conditions
INVENTOR(S): Aung-Din, Ronald
PATENT ASSIGNEE(S): USA
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004112723	A2	20041229	WO 2004-US19816	20040621
WO 2004112723	A3	20050728		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2529528	A1	20041229	CA 2004-2529528	20040621
EP 1644004	A2	20060412	EP 2004-755770	20040621
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
PRIORITY APPLN. INFO.:			US 2003-480088P	P 20030620
			US 2003-480089P	P 20030620
			US 2003-513082P	P 20031021
			WO 2004-US19816	W 20040621

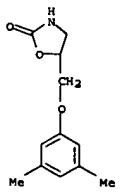
AB The invention is directed to topical formulations and methods of treating a migraines and/or cluster headaches, muscle sprains, muscle spasms, spasticity, tension headaches, tension related migraines and related conditions associated with muscle tension and pain with a therapeutically effective amount of an ergot alkaloid, skeletal muscle relaxant, serotonin agonist, combinations thereof, pharmaceutically acceptable salt thereof, prodrugs thereof or derivative thereof.
IT 1665-48-1, Metaxalone
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(topical therapy for treatment of migraines, muscle sprains, muscle spasm, spasticity and related conditions)
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



L6 ANSWER 23 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:962395 CAPLUS
DOCUMENT NUMBER: 142:232142
TITLE: Commonly used muscle relaxant therapies for acute low back pain: a review of carisoprodol, cyclobenzaprine hydrochloride, and metaxalone
AUTHOR(S): Toth, Peter P.; Urtis, Jason
CORPORATE SOURCE: Department of Family and Community Medicine, University of Illinois School of Medicine, Peoria, USA
SOURCE: Clinical Therapeutics (2004), 26(9), 1355-1367
CODEN: CLTHDG; ISSN: 0149-2918
PUBLISHER: Excerpta Medica, Inc.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review. Low back pain is a leading reason for primary care visits. Many treatment options are available, but some lack scientific support. The aim of this review was to discuss the etiol. of low back pain and the relative risks and benefits of muscle relaxants commonly prescribed for the management of back pain. We searched Intercontinental Marketing Services data for Jan. 2003 through Jan. 2004 to determine the most commonly prescribed agents for the management of musculoskeletal pain. Carisoprodol, cyclobenzaprine hydrochloride, and metaxalone represented >45% of all such prescriptions. Cochrane Library, MEDLINE, and EMBASE databases were searched (time frame: 1960 through Jan. 2004; search terms: back pain, carisoprodol, cyclobenzaprine, metaxalone, muscle relaxants, and pharmacotherapy) and reference lists of identified articles were hand-searched. Three trials of carisoprodol (N = 197) were located in the Cochrane Library database. Two double-blind, randomized, placebo-controlled trials evaluating the safety and efficacy of cyclobenzaprine hydrochloride (N = 1405) were identified in the literature. Three double-blind, placebo-controlled trials were identified for metaxalone (N = 428) in 2 reports. The types of adverse events seen with these agents involved the central nervous system, including drowsiness/sedation, fatigue, and dizziness. However, the efficacy of cyclobenzaprine hydrochloride was shown to be independent of its sedative effects, which were dose related. The potential for abuse with carisoprodol is of growing concern. Analgesic pain management for low back pain due to muscle spasm may be combined with a muscle relaxant. Cyclobenzaprine hydrochloride has the most recent and largest clin. trials demonstrating its benefit, but carisoprodol and metaxalone also appear to be effective. However, carisoprodol's usefulness is mitigated by its potential for abuse.
IT 1665-48-1, Metaxalone
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(commonly used muscle relaxants carisoprodol, cyclobenzaprine and metaxalone for acute low back pain)
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)

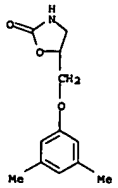
L6 ANSWER 23 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 24 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:743293 CAPLUS
DOCUMENT NUMBER: 141:237880
TITLE: Metaxalone (Skelaxin)-related death
AUTHOR(S): Poklis, Justin L.; Ropero-Miller, Jeri D.; Garside, Diana; Winecker, Ruth E.
CORPORATE SOURCE: Office of the Chief Medical Examiner, Chapel Hill, NC, 27599, USA
SOURCE: Journal of Analytical Toxicology (2004), 28(6), 537-540
CODEN: JATOD3; ISSN: 0146-4760
PUBLISHER: Preston Publications
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The case history and toxicol. findings of a fatal multi-drug overdose involving metaxalone (Skelaxin) are presented. Gas-liquid chromatog. with flame-ionization detection and gas chromatog.-mass spectrometry were used to determine the following drug concns. (mg/L) in aortic blood: 19 mg/L metaxalone; 190 mg/L acetaminophen; 0.28 mg/L hydrocodone; and < 0.1 mg/L diazepam, nordiazepam, amitriptyline, and nortriptyline. The following concns. of metaxalone were reported in alternate specimens: 17 mg/L in femoral blood; 44 mg/L in bile; 70 mg/kg in liver; 7 mg/L in urine; 202 mg/kg in gastric contents; and 14 mg/L in vitreous humor. These concns. were determined using both direct extraction and the method of standard addition The quant. results obtained by both procedures were in good agreement. Because of the limited information published on metaxalone toxicity, the pathologist assigned the manner and cause of death as accidental acute hydrocodone intoxication. Four addnl. cases in which metaxalone was present were analyzed for comparison. Two cases were probable drug-related deaths and had metaxalone aorta blood concns. of 18 and 11 mg/L. The other two cases had therapeutic metaxalone concns. in the aortic blood of < 0.75 and 2.1 mg/L. (c) 2004 Preston Publications.
IT 1665-48-1, Skelaxin
RL: ADV (Adverse effect, including toxicity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(metaxalone; metaxalone-related death)
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 24 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



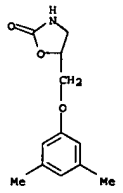
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS

SAEED

L6 ANSWER 25 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:740939 CAPLUS
DOCUMENT NUMBER: 141:374644
TITLE: Comparative efficacy and safety of skeletal muscle relaxants for spasticity and musculoskeletal conditions: A systematic review
AUTHOR(S): Chou, Roger; Peterson, Kim; Helfand, Mark
CORPORATE SOURCE: Department of Medicine and Oregon Evidence-Based Practice Center, Oregon Health and Science University, Portland, OR, USA
SOURCE: Journal of Pain and Symptom Management (2004), 28(2), 140-175
CODEN: JPSMEU; ISSN: 0885-3924
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A review. Skeletal muscle relaxants are a heterogeneous group of medications used to treat two different types of underlying conditions: spasticity from upper motor neuron syndromes and muscular pain or spasms from peripheral musculoskeletal conditions. Although widely used for these indications, there appear to be gaps in our understanding of the comparative efficacy and safety of different skeletal muscle relaxants. This systematic review summarizes and assesses the evidence for the comparative efficacy and safety of skeletal muscle relaxants for spasticity and musculoskeletal conditions. Randomized trials (for comparative efficacy and adverse events) and observational studies (for adverse events only) that included oral medications classified as skeletal muscle relaxants by the FDA were sought using electronic databases, reference lists, and pharmaceutical company submissions. Searches were performed through Jan. 2003. The validity of each included study was assessed using a data abstraction form and predefined criteria. An overall grade was allocated for the body of evidence for each key question. A total of 101 randomized trials were included in this review. No randomized trial was rated good quality, and there was little evidence of rigorous adverse event assessment in included trials or observational studies. There is fair evidence that baclofen, tizanidine, and dantrolene are effective compared to placebo in patients with spasticity (primarily multiple sclerosis). There is fair evidence that baclofen and tizanidine are roughly equivalent for efficacy in patients with spasticity, but insufficient evidence to determine the efficacy of dantrolene compared to baclofen or tizanidine. There is fair evidence that although the overall rate of adverse effects between tizanidine and baclofen is similar, tizanidine is associated with more dry mouth and baclofen with more weakness. There is fair evidence that cyclobenzaprine, carisoprodol, orphenadrine, and tizanidine are effective compared to placebo in patients with musculoskeletal conditions (primarily acute back or neck pain). Cyclobenzaprine has been evaluated in the most clin. trials and has consistently been found to be effective. There is very limited or inconsistent data regarding the effectiveness of metaxalone, methocarbamol, chlorzoxazone, baclofen, or dantrolene compared to placebo in patients with musculoskeletal conditions. There is insufficient evidence to det. the relative efficacy or safety of cyclobenzaprine, carisoprodol, orphenadrine, tizanidine, metaxalone, methocarbamol, and chlorzoxazone. Dantrolene, and to a lesser degree chlorzoxazone, have been assocd. with rare serious hepatotoxicity.

IT 1665-48-1, Metaxalone
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(comparative efficacy and safety of skeletal muscle relaxants for spasticity and musculoskeletal conditions)
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 162 THERE ARE 162 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

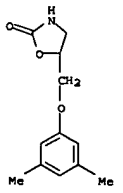
L6 ANSWER 26 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:648367 CAPLUS
DOCUMENT NUMBER: 141:162408
TITLE: Oral controlled release pharmaceutical composition containing metaxalone as active agent
INVENTOR(S): Dudhara, Kamlesh Mohanlal; Dharmadhikari, Nitin Bhalachandra; Zala, Yashoraj Rupsinh
PATENT ASSIGNEE(S): Sun Pharmaceutical Industries Limited, India
SOURCE: PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004066981	A1	20040812	WO 2003-IN14	20030129
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003230189	A1	20040823	AU 2003-230189	20030129
US 2005163839	A1	20050728	US 2003-502896	20030129
PRIORITY APPLN. INFO.:			WO 2003-IN14 A	20030129

AB The present invention provides an oral controlled-release pharmaceutical composition comprising metaxalone, a pharmaceutically acceptable release rate controlling excipient, and pharmaceutically acceptable excipients, wherein the oral controlled-release pharmaceutical composition provides peak plasma levels at a time of about 3 h or more after oral administration of the composition For example, gastric retention controlled-release tablets were prepared containing micronized metaxalone 400.0 mg, mannitol 80.0 mg, hydroxypropyl Me cellulose (HPMC K15 M) 90.0 mg, hydroxypropyl Me cellulose (HPMC K4M) 55.0 mg, sodium starch glycolate 180.0 mg, sodium bicarbonate 80.0 mg, calcium carbonate 40.0 mg, Povidone K-30 15.0 mg, fumaric acid 50.0 mg, sodium lauryl sulfate 10.0 mg, polyethylene glycol 4000 10.0 mg, and magnesium stearate 10.0 mg. The bioavailability of the controlled-release metaxalone tablets was studied in healthy male volunteers.

IT 1665-48-1, Metaxalone
RL: PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(micronized; oral controlled release composition containing metaxalone)
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 26 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

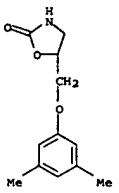


L6 ANSWER 27 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:490278 CAPLUS
DOCUMENT NUMBER: 141:42922
TITLE: Hydrophobic active agent compositions and methods
INVENTOR(S): Chen, Feng-Jing; Gutke, Kathryn; Venkateshwaran, Srinivasan; Patel, Mahesh V.
PATENT ASSIGNEE(S): Lipocine, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 27 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004115287	A1	20040617	US 2002-322344	20021217
PRIORITY APPLN. INFO.:			US 2002-322344	20021217

AB Compns. and methods for providing hydrophobic active agents in a bioavailable form, including cyclosporine are disclosed. In one aspect of the invention, a cyclosporine composition may be formulated that produces an aqueous dispersion containing cyclosporine in both dissolved and undissolved forms. In another aspect, the undissolved form of cyclosporine may be indicated by retention of cyclosporine particles on a 0.2 μm membrane upon filtration of the aqueous dispersion therewith. In another aspect, the undissolved form of cyclosporine may be indicated by formation of a pellet upon centrifugation of the aqueous dispersion at about 12 K+G for about 10 min. A claimed pharmaceutical composition comprises: a therapeutically effective amount of cyclosporine; a solubilizer of ethanol; and a stabilizer of a polyethoxylated castor oil and a polyethoxylated hydrogenated castor oil, in an amount sufficient to provide a ratio of stabilizer to cyclosporine of at least about 5:1, wherein upon contact with an aqueous medium, the composition forms a bioavailable dispersion of dissolved cyclosporine and particles containing undissolved cyclosporine, with at least about 35 % of the cyclosporine being dissolved.
IT 1665-48-1, Metaxalone
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical dispersions containing hydrophobic drug and solubilizer and stabilizer)
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 27 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

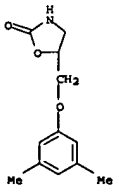


L6 ANSWER 28 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:392439 CAPLUS
DOCUMENT NUMBER: 140:400095
TITLE: Stereoisomers of p-hydroxy-milnacipran, and therapeutic use
INVENTOR(S): Rariy, Roman V.; Heffernan, Michael; Buchwald, Stephen L.; Swager, Timothy M.
PATENT ASSIGNEE(S): Collegium Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 163 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004039320	A2	20040513	WO 2003-US33681	20031022
WO 2004039320	A3	20040624		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2503381	A1	20040513	CA 2003-2503381	20031022
AU 2003284342	A1	20040525	AU 2003-284342	20031022
US 2004142904	A1	20040722	US 2003-691465	20031022
US 7038085	B2	20060502		
EP 1578719	A2	20050928	EP 2003-776524	20031022
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006503920	T	20060202	JP 2005-501895	20031022
PRIORITY APPLN. INFO.:			US 2002-421640P P	20021025
			US 2002-423062P P	20021101
			US 2003-445142P P	20030205
			WO 2003-US33681 W	20031022

OTHER SOURCE(S): MARPAT 140:400095
AB The invention relates generally to the enantiomers of p-hydroxymilnacipran or congeners thereof. Biol. assays revealed that racemic p-hydroxymilnacipran is approx. equipotent in inhibiting serotonin and norepinephrine uptake (IC50 = 28.6 nM for norepinephrine, IC50 = 21.7 nM for serotonin). Interestingly, (+)-p-hydroxymilnacipran is a more potent inhibitor of norepinephrine uptake than serotonin uptake (IC50 = 10.3 nM for norepinephrine, IC50 = 22 nM for serotonin). In contrast, (-)-p-hydroxymilnacipran is a more potent inhibitor of serotonin uptake compared to norepinephrine uptake (IC50 = 88.5 nM for norepinephrine, IC50 = 40.3 nM for serotonin). The invention also relates to salts and prodrug

L6 ANSWER 28 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
forms of the above compds. In certain embodiments, the compds. of the invention and a pharmaceutically acceptable excipient are combined to prep. a formulation for administration to a patient. Finally, the invention relates to methods of treating mammals suffering from various afflictions, e.g., depression, chronic pain, or fibromyalgia, comprising administering to a mammal in need thereof a therapeutically effective amt. of a compd. of the invention. Compd. prepn. is included.
IT 1665-48-1, Metaxalone
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(p-hydroxymilnacipran stereoisomers, therapeutic use, and use with other agents)
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)

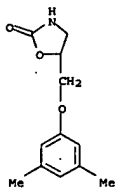


L6 ANSWER 29 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:309077 CAPLUS
DOCUMENT NUMBER: 141:282628
TITLE: Comparison of the dissolution of metaxalone tablets (Skelaxin) using USP apparatus 2 and 3
AUTHOR(S): Cacace, Janice; Reilly, Eugene E.; Amann, Anton
CORPORATE SOURCE: College of Pharmacy, Nova Southeastern University, Ft. Lauderdale, FL, 33328-2018, USA
SOURCE: AAPS PharmSciTech (2004), 5(1), No pp. given CODEN: AAPHFZ; ISSN: 1530-9932 URL: http://www.aapspharmscitech.org/pt0501/pt050106/pt050106.pdf
PUBLISHER: American Association of Pharmaceutical Scientists
DOCUMENT TYPE: Journal; (online computer file)
LANGUAGE: English

AB The purpose of this study was to evaluate the effect of pH on the dissoln. behavior of metaxalone in the marketed product Skelaxin tablets. The dissoln. was evaluated using USP dissoln. Apparatus 2 and 3 at pHs ranging from 1.5 to 7.4. Results from these studies show that the dissoln. of this product is pH dependent. At low pH (simulated gastric fluid, pH 1.5), the dissoln. of metaxalone from Skelaxin tablets was less than 10% over 75 min; whereas, dissoln. at pH 4.5 showed greater than 90% release in the same time period. These results were consistent for both Apparatus 2 and 3. This suggests that Skelaxin Tablets should be considered a delayed release dosage form.

IT 1665-48-1, Metaxalone
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(comparison of dissoln. of metaxalone tablets (Skelaxin) using USP apparatus 2 and 3)
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 29 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

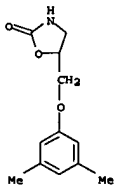
L6 ANSWER 30 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:203663 CAPLUS
DOCUMENT NUMBER: 140:205184
TITLE: Pharmaceutical compositions of metaxalone with enhanced oral bioavailability
INVENTOR(S): Dharmadhikari, Nitin Bhalachandra; Mungre, Ashish Prabhakar; Zala, Yashoraj Rupsinh
PATENT ASSIGNEE(S): Sun Pharmaceutical Industries Limited, India
SOURCE: PCT Int. Appl., 20 pp. CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004019937	A1	20040311	WO 2003-IN294	20030902
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003276688	A1	20040319	AU 2003-276688	20030902
US 2006167069	A1	20060727	US 2005-526285	20050302
PRIORITY APPLN. INFO.:			IN 2002-MU790 A	20020902
			WO 2003-IN294 W	20030902

AB The present invention provides a pharmaceutical composition comprising metaxalone and p excipients, characterized in that the pharmaceutical composition has enhanced oral bioavailability. The present invention also provides a composition comprising metaxalone and excipients, characterized in that the extent of absorption of metaxalone is independent of whether the composition is administered to the patient with food or on an empty stomach. Thus, tablets contained metaxalone 400.0, HPMC 6.50, Starch-1500 30.00, iron oxide (red) 0.3, sodium lauryl sulfate 0.60, colloidal silica 0.75, corn starch 16.35, and Mg stearate 5.50 mg/tablet.

IT 1665-48-1, Metaxalone
RL: PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. of metaxalone with enhanced oral bioavailability)
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 30 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

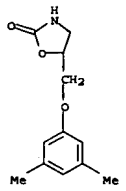
L6 ANSWER 31 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:56700 CAPLUS
DOCUMENT NUMBER: 141:150902
TITLE: Human liver aldehyde oxidase: inhibition by 239 drugs
AUTHOR(S): Obach, R. Scott; Huynh, Phuong; Allen, Mary C.; Beedham, Christine
CORPORATE SOURCE: Groton Laboratories, Pfizer Global Research and Development, Groton, CT, USA
SOURCE: Journal of Clinical Pharmacology (2004), 44(1), 7-19
CODEN: JCPCBR; ISSN: 0091-2700
PUBLISHER: Sage Publications
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The authors tested 239 frequently used drugs and other compds. for their potential to inhibit the drug-metabolizing enzyme, aldehyde oxidase, in human liver cytosol. A sensitive, moderate throughput HPLC-MS assay was developed for 1-phthalazinone, the aldehyde oxidase-catalyzed product of phthalazine oxidation Inhibition of this activity was examined for the 239 drugs and other compds. of interest at a test concentration of 50 μM. Thirty-six compds. exhibited greater than 80% inhibition and were further examined for measurement of IC50. The most potent inhibitor observed was the selective estrogen receptor modulator, raloxifene (IC50 = 2.9 nM), and tamoxifen, estradiol, and ethinyl estradiol were also potent inhibitors. Other classes of drugs that demonstrated inhibition of aldehyde oxidase included phenothiazines, tricyclic antidepressants, tricyclic atypical antipsychotic agents, and dihydropyridine calcium channel blockers, along with some other drugs, including loratadine, cyclobenzaprine, amodiaquine, maprotiline, ondansetron, propafenone, domperidone, quinacrine, ketoconazole, verapamil, tacrine, and salmeterol. These findings are discussed in context to potential drug interactions that could be obaerved between these agents and drugs for which aldehyde oxidase is involved in metabolism and warrant investigation of the possibility of clin. drug interactions mediated by inhibition of this enzyme.

IT 1665-48-1, Metaxalone
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(muscle relaxant metaxalone ineffective in inhibition of human liver aldehyde oxidase)

RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 31 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 32 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:1007852 CAPLUS
DOCUMENT NUMBER: 140:47560
TITLE: Pharmaceutical compositions and dosage forms for administration of hydrophobic drugs
INVENTOR(S): Chen, Feng-Jing; Patel, Mahesh V.; Fikstad, David T.; Zhang, Huiping; Gilyar, Chandrashekar
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 18 pp., Cont.-in-part of U.S. Pat. Appl. 2002 32,171.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 13
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003236236	A1	20031225	US 2003-444935	20030522
US 6267985	B1	20010731	US 1999-345615	19990630
US 6309663	B1	20011030	US 1999-375636	19990817
US 6982281	B1	20060103	US 2000-716029	20001117
US 2001024658	A1	20010927	US 2000-751968	20001229
US 6458383	B2	20021001		
US 2002032171	A1	20020314	US 2001-877541	20010608
US 6761903	B2	20040713		
AU 2004243013	A1	20041209	AU 2004-243013	20040524
CA 2526616	A1	20041209	CA 2004-2526616	20040524
WO 2004105694	A2	20041209	WO 2004-US16286	20040524
WO 2004105694	A3	20060810		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1624855	A2	20060215	EP 2004-753162	20040524
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				

PRIORITY APPLN. INFO.:

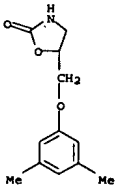
US 1999-345615	A2 19990630
US 1999-375636	A2 19990817
US 2000-716029	A2 20001117
US 2000-751968	A2 20001229
US 2001-877541	A2 20010608
WO 2000-US18807	A 20000710
US 2003-444935	A 20030522
WO 2004-US16286	W 20040524

L6 ANSWER 32 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

AB Pharmaceutical compns. and dosage forms for administration of hydrophobic drugs, particularly steroids, are provided. The pharmaceutical compns. include a therapeutically effective amount of a hydrophobic drug, preferably a steroid; a solubilizer, preferably a vitamin E substance; and a surfactant. The synergistic effect between the hydrophobic drug and the vitamin E substance results in a pharmaceutical formulation with improved dispersion of both the active agent and the solubilizer. As a result of the improved dispersion, the pharmaceutical composition has improved bioavailability upon administration. Methods of improving the bioavailability of hydrophobic drugs are also provided. For example, a dispersion was formulated containing dl-α-tocopherol 313, Cremophor EL 256, dehydrated alc. 70, and progesterone 60 mg.

IT 1665-48-1, Metaxalone
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. containing hydrophobic drugs and solubilizers for enhancement of bioavailability)

RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)



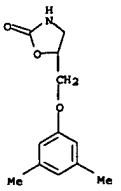
L6 ANSWER 33 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:943111 CAPLUS
DOCUMENT NUMBER: 141:66370
TITLE: Suspected Pediatric Ingestions: Effectiveness of Immunoassay Screens vs. Gas Chromatography/Mass Spectroscopy in the Detection of Drugs and Chemicals
AUTHOR(S): Kyle, Patrick B.; Spencer, J. Lee; Purser, Christine M.; Eddleman, Kirk C.; Hume, Arthur S.
CORPORATE SOURCE: Department of Pharmacology and Toxicology, Analytical Toxicology Laboratory, University of Mississippi Medical Center, Jackson, MS, 39216, USA
SOURCE: Journal of Toxicology, Clinical Toxicology (2003), 41(7), 919-925
CODEN: JTCTDW; ISSN: 0731-3810
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Rapid and accurate anal. testing can be of great value when determining treatment for pediatric patients suspected of ingesting an unknown chemical
Though often overlooked, gas chromatog./mass spectroscopy (GC/MS) can be a
valuable resource in emergency toxicol. testing. In a recent 24-mo period
(July 1999-June 2001), the Anal. Toxicol. Laboratory at the University of Mississippi Medical Center, Jackson, MS, compared the results of GC/MS anal. to results obtained by immunoassay testing. The laboratory tested 139
urine samples referred for STAT toxicol. testing from the hospital's Pediatric Emergency Department. All samples were tested in parallel using
an immunoassay technique (EMIT) and GC/MS. With anal. by immunoassay, 17.3% of the samples were pos. for a drug of abuse. The number of pos. drug
classes ranged from 0 to 2 per sample (mean 0.17 ± 0.43) using immunoassay. With anal. by GC/MS, drugs were detected in 88.5% of the samples. The number of drugs detected ranged from 0 to 11 per sample (mean
2.2 ± 1.8) with GC/MS. A total of 64 different pharmaceuticals were identified by GC/MS. This study shows that anal. by GC/MS offers the clinician a more comprehensive view into the exposure of the pediatric patient presenting with an unknown chemical ingestion.

IT 1665-48-1, Metaxalone
RL: ADV (Adverse effect, including toxicity); ANT (Analyte); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); USES (Uses)
(chemical and drug suspected ingestion by children and GC-MS and immunoassay detection)

RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 33 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

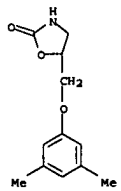
L6 ANSWER 34 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:726750 CAPLUS
DOCUMENT NUMBER: 139:333072
TITLE: Identification and prediction of promiscuous aggregating inhibitors among known drugs
AUTHOR(S): Seidler, James; McGovern, Susan L.; Doman, Thompson N.; Shoichet, Brian K.
CORPORATE SOURCE: Department of Molecular Pharmacology and Biological Chemistry, Northwestern University, Chicago, IL, 60611, USA
SOURCE: Journal of Medicinal Chemistry (2003), 46(21), 4477-4486
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Some small mols., often hits from screening, form aggregates in solution that
inhibit many enzymes. In contrast, drugs are thought to act specifically.
To investigate this assumption, 50 unrelated drugs were tested for promiscuous inhibition via aggregation. Each drug was tested against three unrelated model enzymes: β-lactamase, chymotrypsin, and malate dehydrogenase, none of which are considered targets of these drugs. To be
judged promiscuous, the drugs had to inhibit all three enzymes, do so in a
time-dependent manner, be sensitive to detergent and to enzyme concentration, and
form particles detectable by light scattering. Of the 50 drugs tested, 43
were nonpromiscuous by these criteria. Surprisingly, four of the drugs showed promiscuous, aggregation-based inhibition at concns. below 100 μM: clotrimazole, benzyl benzoate, nicardipine, and delavirdine. Three other drugs also behaved as aggregation-based inhibitors, but only at high
concns. (about 400 μM). To investigate possible structure-activity relationships among promiscuous drugs, five analogs of the antifungal clotrimazole were studied. Three of these, miconazole, econazole, and sulconazole, were promiscuous but the other two, fluconazole and ketoconazole, were not. Using recursive partitioning, these exptl. results were used to develop a model for predicting aggregate-based promiscuity. This model correctly classified 94% of 111 compds.-- 47 aggregators and 64 nonaggregators-- that have been studied for this effect. To evaluate the model, it was used to predict the behavior of 75 drugs not previously investigated for aggregation. Several preliminary points emerge. Most drugs are not promiscuous, even at high concns. Nevertheless, at high enough concns. (20-400 μM), some drugs can aggregate and act promiscuously, suggesting that aggregation may be common
among small mols. at micromolar concns., at least in biochem. buffers.

IT 1665-48-1, Metaxalone
RL: ADV (Adverse effect, including toxicity); BUU (Biological use, unclassified); PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); BIOL (Biological study); PROC (Process); USES (Uses)
(drug in neg. control set; identification and prediction of promiscuous
aggregating enzyme inhibitors among known drugs)

RN 1665-48-1 CAPLUS

L6 ANSWER 34 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)

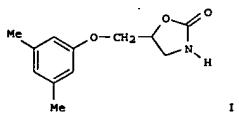


REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L6 ANSWER 35 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:590924 CAPLUS
DOCUMENT NUMBER: 139:149637
TITLE: Preparation of substantially pure 5-(3,5-dimethylphenoxy)methyl-2-oxazolidinone, i.e., metaxalone
INVENTOR(S): Gandhi, Biren Jaiprakash; Shah, Samir Rameshchandra; Chitturi, Trinadha Rao; Thennati, Rajamannar
PATENT ASSIGNEE(S): Sun Pharmaceutical Industries Limited, India
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003061552	A2	20030731	WO 2003-IN9	20030113
WO 2003061552	A3	20040415		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003223098	A1	20030902	AU 2003-223098	20030113
US 2005075505	A1	20050407	US 2004-501588	20040714
PRIORITY APPLN. INFO.:			IN 2002-MU27 A	20020114
			WO 2003-IN9 W	20030113

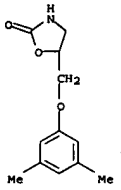
OTHER SOURCE(S): CASREACT 139:149637; MARPAT 139:149637
GI



I

AB A process for the preparation of metaxalone I in greater than 99% purity via the condensation of 3-(3,5-dimethylphenoxy)-2-hydroxypropylamine and

L6 ANSWER 35 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
Y-CO-Z [Y, Z = X, CCl3CO, 1-imidazolyl, etc.; X = halo] in the presence of a polyether facilitator (sic) is disclosed. For example, a mixt. of PEG-400 (50 mL), toluene (500 mL), potassium carbonate powder (0.648 mol), and 3-(3,5-dimethylphenoxy)-2-hydroxypropylamine hydrochloride (0.216 mol), e.g., prepd. from 3,5-dimethylphenol in 2-steps, was heated gradually to reflux during 1.0 h., and then azeotropically refluxed for 3 h. The mixt. was then cooled to 25-30 °C and Et chloroformate (0.228 mol.) was added gradually over 6 h, while maintaining the temp. below 40 °C during the addn. The reaction mixt.was then heated at 50-55 °C for 2 h. The temp. was raised to reflux and refluxed azeotropically for 5.0 h using Dean-Stark condenser. The mixt. was then cooled to 10-15°C, water (150 mL) added and the pH adjusted to 6.5-7.0 by gradual addn. of conc. HCl. After stirring at 10-15 °C for 1 h, the product was sepd. by filtration and washed with toluene (2x 25 mL), followed by water until washings are free from chloride, and dried. The toluene layer from the filtrates were sepd., washed with water (2 x 100 mL) and concd. to one tenth of the vol., cooled to 25-30 °C and the crystd. second crop is filtered to afford metaxalone I in 90% yield and >99 % purity by HPLC.
IT 1665-48-1P, Metaxalone
RL: IMP (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(product; preparation of 5-(3,5-dimethylphenoxy)methyl-2-oxazolidinone, i.e., metaxalone)
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 36 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:396256 CAPLUS
DOCUMENT NUMBER: 138:390941
TITLE: Buccal, polar and non-polar spray or capsule containing drugs for treating muscular and skeletal disorders
INVENTOR(S): Dugger, Harry A., III
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 12 pp., Cont.-in-part of U.S. Ser. No. 537,118.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 19
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003095927	A1	20030522	US 2002-230086	20020829
WO 9916417	A1	19990408	WO 1997-US17899	19971001
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
EP 1029536	A1	20000823	EP 2000-109347	19971001
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
EP 1036561	A1	20000920	EP 2000-109357	19971001
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2497121	A1	20040311	CA 2003-2497121	20030827
WO 2004019905	A1	20040311	WO 2003-US26858	20030827
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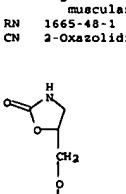
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003270018	A1	20040319	AU 2003-270018	20030827
EP 1534236	A1	20050601	EP 2003-751912	20030827
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006508052	T	20060309	JP 2004-531574	20030827
US 2005025717	A1	20050203	US 2004-928997	20040827
PRIORITY APPLN. INFO.:			WO 1997-US17899 A2	19971001
			US 2000-537118 A2	20000329
			EP 1997-911621 A3	19971001
			US 2002-230086 A	20020829

L6 ANSWER 36 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
WO 2003-US26858 W 20030827

AB Buccal aerosol sprays or capsules using polar and non-polar solvent have now been developed which provide biol. active compds. for rapid absorption through the oral mucosa, resulting in fast onset of effect. The buccal polar compns. of the invention comprise formulation I: aqueous polar solvent, active compound, and optional flavoring agent; formulation II: aqueous polar solvent, active compound, optionally flavoring agent, and propellant; formulation III: non-polar solvent, active compound, and optional flavoring agent; and formulation IV: non-polar solvent, active compound, optional flavoring agent, and propellant. An example lingual spray contained cyclosporine, water, ethanol, PEG, and flavors. Muscle relaxant compns. are claimed.
IT 1665-48-1, Metaxalone
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(buccal, polar and non-polar spray or capsule containing drugs for treating muscular and skeletal disorders)
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)

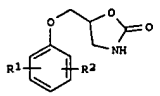


L6 ANSWER 37 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:368620 CAPLUS
DOCUMENT NUMBER: 138:368879
TITLE: Preparation of 5-aryloxymethyl-2-oxazolidinones by reaction of triglycidyl isocyanurate with phenols.
INVENTOR(S): Lee, Pang-yu; Huang, Tsang-Miao; Chung, Chao-Ho
PATENT ASSIGNEE(S): Yung Shin Pharm. Ind. Co., Ltd., Taiwan
SOURCE: U.S., 9 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6562980	B1	20030513	US 2002-222797	20020819
CN 1483729	A	20040324	CN 2003-153398	20030812
JP 2004075686	A	20040311	JP 2003-293552	20030814
EP 1391458	A2	20040225	EP 2003-18571	20030818
EP 1391458	A3	20040303		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
PRIORITY APPLN. INFO.: US 2002-222797 A 20020819

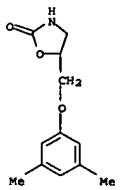
OTHER SOURCE(S): CASREACT 138:368879; MARPAT 138:368879
GI



I

AB Title compds. (I; R1, R2 = H, alkyl, alkoxy), were prepared by reaction of triglycidyl isocyanurate with (R1, R2-substituted) PhOH. Thus, triglycidyl isocyanurate, 3,5-dimethylphenol, and NaOH were refluxed overnight in acetone to give 81% 5-(3,5-dimethylphenoxymethyl)-2-oxazolidinone.
IT 1665-48-1P, 5-(3,5-Dimethylphenoxymethyl)-2-oxazolidinone
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of 5-aryloxymethyl-2-oxazolidinones by reaction of triglycidyl isocyanurate with phenols)
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 37 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

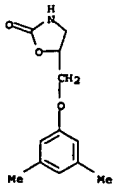
L6 ANSWER 38 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:235499 CAPLUS
DOCUMENT NUMBER: 138:255222
TITLE: Four-step process for the preparation of metaxalone
INVENTOR(S): Breviglieri, Gabriele; Contrini, Sergio; Bruno, Giacomo; Assanelli, Cinzia
PATENT ASSIGNEE(S): Parchemis S.r.l., Italy
SOURCE: U.S., 4 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6538142	B1	20030325	US 2002-124474	20020418

PRIORITY APPLN. INFO.: US 2002-124474 20020418

OTHER SOURCE(S): CASREACT 138:255222
AB Metaxalone, 5-(3,5-dimethylphenoxymethyl)-2-oxazolidinone, is prepared in high yield and selectivity by: (a) the etherification of 3,5-dimethylphenol with epichlorohydrin to obtain a mixture of 1-(3,5-dimethylphenoxy)-2,3-epoxypropane (I) and 1-(3,5-dimethylphenoxy)-3-chloro-2-propanol (II); (b) reacting the mixture of I and II obtained from step (a) with benzylamine to give 3,5-(H3C)2C6H3OCH2CH(OH)CH2NHCH2Ph (III); (c) subjecting III to a catalytic (e.g., Pd/C) hydrogenolysis-amination reaction with hydrogen in presence of ammonia to give 3,5-(H3C)2C6H3OCH2CH(OH)CH2NH2 (IV); and (d) subjecting IV to a cyclocondensation reaction with di-Me carbonate in the presence of a strong base (e.g., NaOMe) to give 5-(3,5-dimethlphenoxymethyl)-2-oxazolidinone which is purified by crystallization from toluene.
IT 1665-48-1P, Metaxalone
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(four-step process for the preparation of metaxalone)
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 38 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT
SAEED

L6 ANSWER 39 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:202410 CAPLUS
DOCUMENT NUMBER: 138:226705
TITLE: Novel pharmaceuticals comprising drug conjugates with polypeptide carriers
INVENTOR(S): Picariello, Thomas
PATENT ASSIGNEE(S): New River Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 2059 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 19
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003020200	A2	20030313	WO 2001-US43117	20011116
WO 2003020200	A3	20030912		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2429345	A1	20030313	CA 2001-2429345	20011116
EP 1357928	A2	20031105	EP 2001-273387	20011116
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2006516947	T	20060713	JP 2003-524514	20011116
US 2004063628	A1	20040401	US 2002-156527	20020529
US 7060708	B2	20060613		
IN 2003KN00775	A	20050204	IN 2003-KN775	20030613

PRIORITY APPLN. INFO.:

US 2000-248600P	P	20001116
US 2000-248601P	P	20001116
US 2000-248603P	P	20001116
US 2000-248604P	P	20001116
US 2000-248606P	P	20001116
US 2000-248607P	P	20001116
US 2000-248608P	P	20001116
US 2000-248609P	P	20001116
US 2000-248611P	P	20001116
US 2000-248689P	P	20001116

L6 ANSWER 39 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

US 2000-248691P	P	20001116
US 2000-248692P	P	20001116
US 2000-248693P	P	20001116
US 2000-248694P	P	20001116
US 2000-248695P	P	20001116
US 2000-248696P	P	20001116
US 2000-248697P	P	20001116
US 2000-248698P	P	20001116
US 2000-248701P	P	20001116
US 2000-248702P	P	20001116
US 2000-248703P	P	20001116
US 2000-248704P	P	20001116
US 2000-248705P	P	20001116
US 2000-248706P	P	20001116
US 2000-248707P	P	20001116
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US 2000-248710P	P	20001116
US 2000-248711P	P	20001116
US 2000-248712P	P	20001116
US 1999-265415	B2	19990310
US 1999-411238	B2	19991004
WO 2000-US5693	A	20000306
US 2000-642820	A2	20000822
US 2000-247561P	P	20001114
US 2000-248620P	P	20001116
US 2000-248658P	P	20001116
US 2000-248659P	P	20001116

L6 ANSWER 39 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

US 2000-248660P	P	20001116
US 2000-248662P	P	20001116
US 2000-248663P	P	20001116
US 2000-248685P	P	20001116
US 2000-248686P	P	20001116
US 2000-248688P	P	20001116
US 2000-248714P	P	20001116
US 2000-248715P	P	20001116
US 2000-248716P	P	20001116
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US 2000-248718P	P	20001116
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US 2000-248720P	P	20001116
US 2000-248737P	P	20001116
US 2000-248738P	P	20001116
US 2000-248748P	P	20001116
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US 2000-248776P	P	20001116
US 2000-248777P	P	20001116
US 2000-248778P	P	20001116
US 2000-248779P	P	20001116
US 2000-248782P	P	20001116
US 2000-248787P	P	20001116

L6 ANSWER 39 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

US 2000-248794P	P	20001116
US 2000-248795P	P	20001116
US 2000-248796P	P	20001116
US 2000-248797P	P	20001116
US 2001-933708	A2	20010822
US 2001-986426	A2	20011108
US 2001-987458	B2	20011114
WO 2001-US43089	B2	20011114
US 2001-248664P	P	20011116
US 2001-248665P	P	20011116
US 2001-248666P	P	20011116
US 2001-248667P	P	20011116
US 2001-248668P	P	20011116
US 2001-248669P	P	20011116
US 2001-248671P	P	20011116
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US 2001-248675P	P	20011116
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US 2001-248679P	P	20011116
US 2001-248680P	P	20011116
US 2001-248681P	P	20011116
US 2001-248682P	P	20011116
US 2001-248683P	P	20011116
US 2001-248684P	P	20011116
US 2001-248765P	P	20011116
US 2001-248766P	P	20011116

L6 ANSWER 39 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

US 2001-248767P	P	20011116
US 2001-248773P	P	20011116
US 2001-248774P	P	20011116
US 2001-248775P	P	20011116
US 2001-248778P	P	20011116
US 2001-248780P	P	20011116
US 2001-248781P	P	20011116
US 2001-248783P	P	20011116
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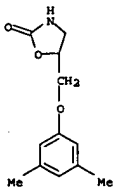
US 2001-248786P	P	20011116
US 2001-248787P	P	20011116
US 2001-248790P	P	20011116
US 2001-248791P	P	20011116
US 2001-248792P	P	20011116
US 2001-248793P	P	20011116
US 2001-248833P	P	20011116
US 2001-248848P	P	20011116
US 2001-248849P	P	20011116
US 2001-988034	B2	20011116
US 2001-988071	B2	20011116
WO 2001-US43115	B2	20011116
WO 2001-US43117	W	20011116
US 2002-358381P	P	20020222
US 2002-366258P	P	20020322

AB A pharmaceutical composition comprising a polypeptide and an active agent attached to said polypeptide is disclosed.

IT 1665-48-1D, Metaxalone, polypeptide conjugates
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(novel pharmaceuticals comprising drug conjugates with polypeptide carriers)

RN 1665-48-1 CAPLUS

CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 40 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:574855 CAPLUS
DOCUMENT NUMBER: 137:129887
TITLE: Pharmaceutical compositions containing a COX-II inhibitor and a muscle relaxant
INVENTOR(S): Faour, Joaquina; Vergez, Juan A.
PATENT ASSIGNEE(S): Osmotica Costa Rica Sociedad Anonima, Costa Rica
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Spanish
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002058620	A2	20020801	WO 2002-CR1	20020125
WO 2002058620	A3	20021212		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004204413	A1	20041014	US 2001-770901	20010126
AU 2002231570	A1	20020806	AU 2002-231570	20020125
EP 1362585	A2	20031119	EP 2002-711756	20020125
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

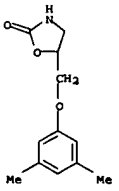
PRIORITY APPLN. INFO.: US 2001-770901 A 20010126
WO 2002-CR1 W 20020125

AB The invention relates to a pharmaceutical composition and a dosage form that combines a COX-II inhibitor and a muscle relaxant. The pharmaceutical composition is used to treat pain and disorders and symptoms associated with pain. The combination provides an improved therapeutic response compared to all other single drugs. The pharmaceutical composition can be administered in any dosage form. The muscle relaxant may be alcuronium, alosetron, aminophylline, baclofen, carisoprodol, etc. The COX-II inhibitor may be rofecoxib, celecoxib, flosulide, NS-398, etc.

IT 1665-48-1, Metaxalone
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(pharmaceutical compns. containing a COX-II inhibitor and a muscle relaxant)

RN 1665-48-1 CAPLUS

CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 41 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:556104 CAPLUS
DOCUMENT NUMBER: 137:109489
TITLE: Compositions comprising a polypeptide and an active agent
INVENTOR(S): Piccariello, Thomas; Olon, Lawrence P.; Kirk, Randal J.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 34 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 20
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002099013	A1	20020725	US 2001-933708	20010822
US 2004087483	A1	20040506	US 2002-136433	20020502
US 7163918	B2	20070116		
US 2004063628	A1	20040401	US 2002-156527	20020529
US 7060708	B2	20060613		
US 2006014697	A1	20060119	US 2005-89056	20050325

PRIORITY APPLN. INFO.:

US 2000-247556P	P	20001114
US 2000-247558P	P	20001114
US 2000-247559P	P	20001114
US 2000-247560P	P	20001114
US 2000-247561P	P	20001114
US 2000-247594P	P	20001114
US 2000-247595P	P	20001114
US 2000-247606P	P	20001114
US 2000-247607P	P	20001114
US 2000-247608P	P	20001114
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US 2000-247610P	P	20001114
US 2000-247611P	P	20001114
US 2000-247612P	P	20001114
US 2000-247620P	P	20001114
US 2000-247621P	P	20001114
US 2000-247634P	P	20001114

L6 ANSWER 41 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

US 2000-247635P	P	20001114
US 2000-247698P	P	20001114
US 2000-247699P	P	20001114
US 2000-247700P	P	20001114
US 2000-247701P	P	20001114
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US 2000-247797P	P	20001114
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US 2000-247928P	P	20001114
US 2000-247929P	P	20001114
US 2000-247930P	P	20001114
US 1999-265415	B2	19990310
US 1999-411238	B2	19991004
WO 2000-US5693	A	20000306
US 2000-642820	A2	20000822
US 2000-248607P	P	20001116

L6 ANSWER 41 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

US 2000-248620P	P	20001116
US 2000-248658P	P	20001116
US 2000-248659P	P	20001116
US 2000-248660P	P	20001116
US 2000-248662P	P	20001116
US 2000-248663P	P	20001116
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US 2000-248737P	P	20001116
US 2000-248738P	P	20001116
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US 2001-987458	B2	20011114

L6 ANSWER 41 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

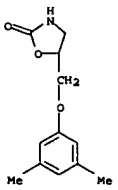
WO 2001-US43089	B2	20011114
US 2001-988034	B2	20011116
US 2001-988071	B2	20011116
WO 2001-US43115	B2	20011116
WO 2001-US43117	B2	20011116
US 2002-358368P	P	20020222
US 2002-358381P	P	20020222
US 2002-362082P	P	20020307
US 2002-366258P	P	20020322
US 2002-156527	A2	20020529
WO 2003-US5525	A2	20030224
US 2003-507012P	P	20030930
US 2004-567800P	P	20040505
US 2004-567802P	P	20040505
US 2004-568011P	P	20040505
US 2004-923088	A2	20040823
US 2004-923257	A2	20040823
US 2004-953110	A2	20040930
US 2004-953111	A2	20040930
US 2004-953116	A2	20040930
US 2004-953119	A2	20040930
US 2004-955006	A2	20040930
WO 2004-US32131	A2	20040930

AB Claimed are compns. comprising a polypeptide and an active agent covalently attached to the polypeptide and a method for delivery of an active agent to a patient by administering the composition to the patient. The peptide is a homopolymer of a naturally occurring amino acid or a heteropolymer of two or more naturally occurring amino acids. In an example, (Glu)n-cephalexin was prepared from Glu(OBut)NCA and cephalexin hydrochloride.

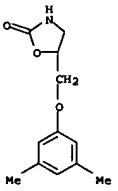
IT 1665-48-1, Metaxalone
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. comprising a polypeptide and an active agent)

RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 41 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



L6 ANSWER 42 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L6 ANSWER 42 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:461291 CAPLUS
DOCUMENT NUMBER: 137:24350
TITLE: Method for increasing the bioavailability of metaxalone
INVENTOR(S): Scaife, Michael; Shah, Jaymin
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA
SOURCE: U.S., 6 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6407128	B1	20020618	US 2001-998206	20011203
US 2003216457	A1	20031120	US 2002-104044	20020325
US 6683102	B2	20040127		
PRIORITY APPLN. INFO.:			US 2001-998206	A1 20011203

AB A method of increasing the bioavailability of metaxalone by administration of an oral dosage form with food is provided, as well as an article of manufacture comprising an oral dosage form of metaxalone in a suitable container and associated with printed labeling which describes the increased bioavailability of the medication in the container when taken with food. The method of increasing the oral bioavailability of metaxalone to a patient receiving metaxalone therapy comprises administering to the patient a pharmaceutical tablet comprising 400 mg to 800 mg of metaxalone, with food, wherein the administration results in an increase in the maximal plasma concentration (Cmax) and extent of absorption (AUC) of metaxalone compared to administration without food.

IT 1665-48-1, Metaxalone
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(administration of metaxalone tablets with food for increasing drug bioavailability)

RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 43 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:332011 CAPLUS
DOCUMENT NUMBER: 136:355482
TITLE: Compositions comprising a polypeptide and an active agent
INVENTOR(S): Piccariello, Thomas; Olon, Lawrence P.; Kirk, Randall J.
PATENT ASSIGNEE(S): New River Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 98 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 19
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002034237	A1	20020502	WO 2001-US26142	20010822
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 6716452	B1	20040406	US 2000-642820	20000822
CA 2420590	A1	20020502	CA 2001-2420590	20010822
AU 200186599	A	20020506	AU 2001-86599	20010822
EP 1311242	A1	20030521	EP 2001-966056	20010822
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004523480	T	20040805	JP 2002-537291	20010822
IN 2003KN00775	A	20050204	IN 2003-KN775	20030613
US 2004127397	A1	20040701	US 2003-727565	20031205
PRIORITY APPLN. INFO.:			US 2000-642820	A 20000822
			US 2000-247613P	P 20001114
			US 2000-247614P	P 20001114
			US 2000-247615P	P 20001114
			US 2000-247616P	P 20001114
			US 2000-247617P	P 20001114
			US 2000-247622P	P 20001114
			US 2000-247630P	P 20001114
			US 2000-247631P	P 20001114
			US 2000-247632P	P 20001114
			US 2000-247633P	P 20001114
			US 2000-247556P	P 20001114

L6 ANSWER 43 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

US 2000-247558P	P	20001114
US 2000-247559P	P	20001114
US 2000-247560P	P	20001114
US 2000-247561P	P	20001114
US 2000-247594P	P	20001114
US 2000-247595P	P	20001114
US 2000-247606P	P	20001114
US 2000-247607P	P	20001114
US 2000-247608P	P	20001114
US 2000-247609P	P	20001114
US 2000-247610P	P	20001114
US 2000-247611P	P	20001114
US 2000-247612P	P	20001114
US 2000-247620P	P	20001114
US 2000-247621P	P	20001114
US 2000-247634P	P	20001114
US 2000-247635P	P	20001114
US 2000-247698P	P	20001114
US 2000-247699P	P	20001114
US 2000-247701P	P	20001114
US 2000-247702P	P	20001114
US 2000-247797P	P	20001114
US 2000-247798P	P	20001114
US 2000-247799P	P	20001114
US 2000-247800P	P	20001114
US 2000-247801P	P	20001114
US 2000-247802P	P	20001114
US 2000-247803P	P	20001114

L6 ANSWER 43 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

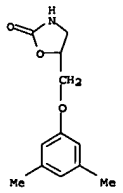
US 2000-247804P	P	20001114
WO 2001-US26142	W	20010822

AB Claimed are compns. comprising a polypeptide and an active agent covalently attached to the polypeptide and a method for delivery of an active agent to a patient by administering the composition to the patient. The peptide is a homopolymer of a naturally occurring amino acid or a heteropolymer of two or more naturally occurring amino acids. In an example, (Glu)n-cephalexin was prepared from Glu(OBut)NCA and cephalexin hydrochloride.

IT 1665-48-1, Metaxalone
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. comprising a polypeptide and an active agent)

RN 1665-48-1 CAPLUS

CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 44 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:71907 CAPLUS
DOCUMENT NUMBER: 136:123679
TITLE: Enhancement of the action of central and peripheral nervous system agents with nitrous oxide
INVENTOR(S): Meyer, Petrus Johannes
PATENT ASSIGNEE(S): Pitmy International N.V., Neth. Antilles
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002005851	A2	20020124	WO 2001-ZA99	20010719
WO 2002005851	A3	20020808		
WO 2002005851	A8	20021227		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2416650	A1	20020124	CA 2001-2416650	20010719
EP 1476195	A2	20041117	EP 2001-959903	20010719
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
ZA 2003000364	A	20040520	ZA 2003-364	20030114
ZA 2003000365	A	20040609	ZA 2003-365	20030114
PRIORITY APPLN. INFO.:			ZA 2000-3643	A 20000719
			WO 2001-ZA99	W 20010719

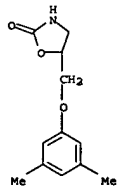
AB The invention provided a method of enhancing the action of a pharmaceutical agent selected from the group consisting of the CPNS agents selected from the group of compds. acting on the central or peripheral nervous system, and for a formulation of such agents characterized in that the agent is formulated with an administration medium which is characterized in that it comprises a solution of nitrous oxide gas in a pharmaceutically acceptable carrier solvent for the gas and which administration medium includes at least one fatty acid or ester or other suitable derivative thereof selected from the group consisting of oleic acid, linoleic acid, α-linolenic acid, γ-linolenic acid, arachidonic acid, eicosapentaenoic acid [C20: 5ω3], decosahexaenoic acid [C22: 6ω3], ricinoleic acid and derivs. thereof selected from the group consisting of the C1 to C6 alkyl esters thereof, the glycerol-PEG esters and the reaction product of hydrogenated natural oils composed largely of ricinoleic acid based oils such as castor oil with ethylene oxide. Solns. of nitrous oxide were prepared

IT 1665-48-1, Metaxalone
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

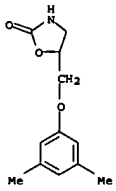
L6 ANSWER 44 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
(enhancement of the action of central and peripheral nervous system agents with nitrous oxide)

RN 1665-48-1 CAPLUS

CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)

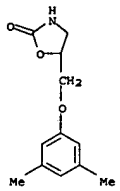


L6 ANSWER 45 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:670271 CAPLUS
DOCUMENT NUMBER: 134:172532
TITLE: Metaxalone in the therapy of muscle spasm
AUTHOR(S): Nicholson, Bruce
CORPORATE SOURCE: Lehigh Valley Hospital and Health Network, Allentown, PA, USA
SOURCE: International Congress and Symposium Series - Royal Society of Medicine (2000), 245(Medical Management of Selected Neurological Disorders: Epilepsy, Spasticity and Pain), 45-53
CODEN: RMISDU; ISSN: 0142-2367
PUBLISHER: Royal Society of Medicine Press Ltd.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review with 20 refs. on the use of metaxalone, an active skeletal muscle relaxant, in the therapy of muscle spasm and related pain.
IT 1665-48-1, Metaxalone
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(metaxalone in the therapy of muscle spasm)
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)



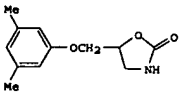
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 46 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:399268 CAPLUS
DOCUMENT NUMBER: 131:210159
TITLE: Thin-layer chromatography and mass spectrometry for screening of biological samples for drugs and metabolites
AUTHOR(S): Brzezinka, Harald; Dallakian, Pavel; Budzikiewicz, Herbert
CORPORATE SOURCE: Institut fur Rechtsmedizin der Universitat Bonn, Bonn, 53111, Germany
SOURCE: Journal of Planar Chromatography--Modern TLC (1999), 12(2), 96-108
CODEN: JPCTE5; ISSN: 0933-4173
PUBLISHER: Research Institute for Medicinal Plants
DOCUMENT TYPE: Journal
LANGUAGE: English
AB This paper describes a method for off-line coupling of thin-layer chromatog. (TLC) and electron-impact ionization mass spectrometry (EIMS) which is well suited for routine forensic and toxicol. investigations of a large number of samples. The advantages and drawbacks of this approach are discussed. Several TLC systems for 493 compds. of forensic and toxicol. interest are described and eight-peak mass spectra from full EI mass spectra are listed.
IT 1665-48-1, Metaxalone
RL: ANT (Analyte); ANST (Analytical study)
(thin-layer chromatog. and mass spectrometry for screening of biol. samples for drugs and metabolites)
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

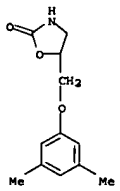
L6 ANSWER 47 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1987:9274 CAPLUS
DOCUMENT NUMBER: 106:9274
TITLE: Proton NMR spectral simplification with achiral and chiral lanthanide shift reagents. IV. Metaxalone, 5-(3,5-dimethylphenoxymethyl)-2-oxazolidinone; evidence for multidentate chelation
AUTHOR(S): Hatzis, Alexander; Rothchild, Robert
CORPORATE SOURCE: John Jay Coll. Criminal Justice, City Univ. New York, New York, NY, 10019-1199, USA
SOURCE: Spectroscopy Letters (1986), 19(8), 939-51
CODEN: SPLEBX; ISSN: 0038-7010
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB The 60 MHz 1H NMR spectra of (±)-metaxalone [(±)-I] [105801-80-7], was studied in CDCl3 solution at 28° with the achiral shift reagent tris(6,6,7,7,8,8,8-heptafluoro-2,2-dimethyl-3,5-octanedionato)europium(III) (II) [17631-68-4], and the chiral reagents tris[3-(trifluoromethylhydroxymethylene)-d-camphorato]europium(III) (III) [34830-11-0], and tris[3-(heptafluoropropylhydroxymethylene)-d-camphorato]europium(III) (IV) [34788-82-4]. III produced modest observable enantiomeric shift differences, ΔΔδ, for the CH2O, aryl Me, aryl H and the NH signals. Although IV produced small or no observable ΔΔδ for the CH2O, aryl methyls or aryl protons, strikingly greater values of lanthanide induced shift, Δδ, as well as ΔΔδ, were found for the NH signal using IV relative to III. Anal. feasibility for direct optical purity detns. of I with IV using this NH signal should allow detection of 7% of the minor enantiomer or less. Results are discussed in terms of potential bidentate or tridentate chelation of IV by I making a greater contribution than for IV.
IT 1665-48-1
RL: PRP (Properties)
(optical purity of, by NMR, lanthanide shift reagents ini)
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 47 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



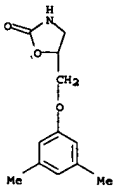
L6 ANSWER 48 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1986:597174 CAPLUS
DOCUMENT NUMBER: 105:197174
TITLE: Analgesic, antiinflammatory and skeletal muscle relaxant compositions
INVENTOR(S): Sunshine, Abraham; Laska, Eugene M.; Siegel, Carole E.
PATENT ASSIGNEE(S): USA
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8603681	A1	19860703	WO 1985-US2335	19851127
W: AU, JP				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
AU 8651981	A	19860722	AU 1986-51981	19851127
AU 582513	B2	19890323		
EP 205492	A1	19861230	EP 1985-906137	19851127
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 62501630	T	19870702	JP 1985-505344	19851127
CA 1261753	A1	19890926	CA 1985-498531	19851223
US 4722938	A	19880202	US 1986-815502	19860102
US 4780463	A	19881025	US 1987-114751	19871030
US 4923898	A	19900508	US 1988-227989	19880803

PRIORITY APPLN. INFO.: US 1984-686377 A 19841226
US 1984-686380 A 19841226
WO 1985-US2335 A 19851127
US 1986-815502 A3 19860102
US 1987-114751 A3 19871030

AB Pharmaceutical compns. for treatment of skeletal muscle disorders contain a non-steroid anti-inflammatory agent such as an acetic or propionic acid derivative in combination with a skeletal muscle relaxant and, optionally, a xanthine derivative such as caffeine which enhances the antiinflammatory drug and its stimulant effect counteracts the sedative effect of the skeletal muscle relaxant. One capsule composition contained chlorzoxazone 250 and ibuprofen 400 mg; another contained methocarbamol 400, fenoprofen 200, and caffeine 130 mg.
IT 1665-48-1
RL: BIOL (Biological study)
(antiinflammatory-skeletal muscle relaxant composition containing)
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 48 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

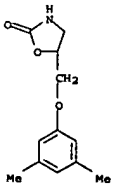


L6 ANSWER 49 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1985:547172 CAPLUS
DOCUMENT NUMBER: 103:147172
TITLE: Drug delivery device
INVENTOR(S): Bondi, Joseph V.
PATENT ASSIGNEE(S): Merck and Co., Inc. , USA
SOURCE: Eur. Pat. Appl., 20 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

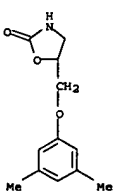
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 147780	A2	19850710	EP 1984-115782	19841219
EP 147780	A3	19870311		
R: CH, DE, FR, GB, IT, LI, NL				
JP 60158109	A	19850819	JP 1984-274974	19841228

PRIORITY APPLN. INFO.: US 1984-567835 A 19840103

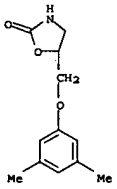
AB A delivery system for oral ingestion and rectal or vaginal insertion for delivery of a drug comprises a core (the active agent), poly(vinyl alc.) (I) [9002-89-5] film for coating of granules, suppositories, or tablets, or matrix for controlled release, and optionally a buffer I is used at 1-15% by weight of the drug delivery system and the active agent 0.1-500 mg/dosage unit. Thus, a core tablet contained microcryst. cellulose 150, l-dopa [59-92-7] 250, and Mg stearate 2 mg, and the film coating solution contained I super-hydrolyzed 2 parts and water 98 parts.
IT 1665-48-1
RL: BIOL (Biological study)
(controlled-release, poly(vinyl alc.) film coating for)
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 50 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1983:465537 CAPLUS
DOCUMENT NUMBER: 99:65537
TITLE: The acute oral toxicity, repellency, and hazard potential of 998 chemicals to one or more species of wild and domestic birds
AUTHOR(S): Schafer, E. W., Jr.; Bowles, W. A., Jr.; Hurlbut, J.
CORPORATE SOURCE: Wildl. Res. Cent., U. S. Fish Wildl. Serv., Denver, CO, 80225, USA
SOURCE: Archives of Environmental Contamination and Toxicology (1983), 12(3), 355-82
CODEN: AECTCV; ISSN: 0090-4341
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The acute oral toxicity, repellency, and hazard potential of 998 chemical to 1 or more of 68 species of wild and domestic birds was determined by standardized testing procedures. Red-winged blackbirds (Agelaius phoeniceus) were the most sensitive of the bird species tested on a large number of chems., and an index based on red-wing toxicity and repellency may provide an appropriate indication of the probability of acute avian poisoning episodes. Avian repellency and toxicity were not pos. correlated (i.e., toxicity varied independently with repellency).
IT 1665-48-1
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
(toxicity of, to birds, repellency in relation to)
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)



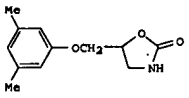
L6 ANSWER 51 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1980:461065 CAPLUS
DOCUMENT NUMBER: 93:61065
TITLE: Inhibition of arachidonate metabolism by selected compounds in vitro with particular emphasis on the thromboxane A2 synthase pathway
AUTHOR(S): Tobias, L. D.; Hamilton, J. G.
CORPORATE SOURCE: Roche Res. Cent., Hoffmann-La Roche Inc., Nutley, NJ, 07110, USA
SOURCE: Advances in Prostaglandin and Thromboxane Research (1980), 6, 453-6
CODEN: APTRDI; ISSN: 0361-5952
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Effects of 32 compds. on thromboxane A2 synthetase [60832-04-4] from guinea pig lung microsomes and human blood platelet microsomes were studied. Imidazole [288-32-4] was a weak inhibitor of thromboxane A2 [57576-52-0] formation from PGH2 [42935-17-1] but had no effect on either PG formation from arachidonate [506-32-1] or PGI2 [35121-78-9] formation from PGH2. Diazepam [439-14-5] had an inhibitory activity equivalent to imidazole, but chlordiazepoxide-HCl [438-41-5] was without effect. L8027 [36504-64-0] and N0164 [60787-00-0] were more potent inhibitors of thromboxane formation using human platelet microsomes as compared to thromboxane A2 formation using guinea pig lung microsomes. Both imidazole and diazepam were equipotent inhibitors of thromboxane A2 formation.
IT 1665-48-1
RL: BIOL (Biological study)
(thromboxane A2 synthetase response to, in blood platelet and lung microsomes)
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 52 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1977:527664 CAPLUS
DOCUMENT NUMBER: 87:127664
TITLE: Phenoxy compounds in combinations to suppress gastric bleeding in aspirin therapy
INVENTOR(S): Alphin, Reevis Stancil; Ward, John Wesley
PATENT ASSIGNEE(S): A. H. Robins Co., Inc., USA
SOURCE: U.S., 10 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4036957	A	19770719	US 1975-633044	19751118
PRIORITY APPLN. INFO.:			US 1975-633044	A 19751118

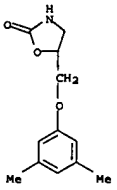
GI



I

AB Phenoxy compds. are administered to patients receiving antiinflammatory doses of aspirin [50-78-2] to suppress gastric bleeding which occurs as a side effect of aspirin. The phenoxy compds. are given separately in combination with aspirin at a dose 5-50% by weight of that of aspirin. For example, metaxalone (I) [1665-48-1] (50.0 mg/kg i.p.), administered 30 min before aspirin (375 mg/kg orally) provided 98% protection against gastric mucosal hemorrhage compared to controls receiving aspirins but no I.
IT 1665-48-1
RL: BIOL (Biological study)
(gastric hemorrhage from aspirin inhibition by)
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)

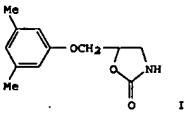
L6 ANSWER 52 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



L6 ANSWER 53 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1977:60537 CAPLUS
DOCUMENT NUMBER: 86:60537
TITLE: Compositions to suppress gastric bleeding in indomethacin and phenylbutazone therapy
INVENTOR(S): Alphin, Reevis S.; Droppleman, David A.
PATENT ASSIGNEE(S): A. H. Robins Co., Inc., USA
SOURCE: U.S., 10 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3993767	A	19761123	US 1975-633043	19751118
PRIORITY APPLN. INFO.:			US 1975-633043	A 19751118

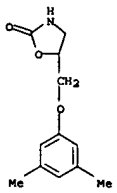
GI



I

AB The incidence of intestinal ulceration and perforation caused by the inflammation inhibitors indomethacin [53-86-1] or phenylbutazone [50-33-9] are minimized by concomitant administration of a 5-phenoxymethyl-3-oxazolidinone such as metaxalone (I) [1665-48-1]. Thus, in rats receiving 20 mg/kg oral indomethacin, none died when pretreated with 200 mg/kg oral I while 86% died in a control group pretreated with acacia suspension. Gastrointestinal ulceration protection from phenylbutazone by I was also shown. Formulations were given containing combinations of I with indomethacin or I with phenylbutazone. E.g., capsules contain indomethacin 25 and metaxalone 250 mg/capsule.
IT 1665-48-1
RL: BIOL (Biological study)
(indomethacin and phenylbutazone pharmaceuticals gastrotoxicity prevention by)
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 53 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



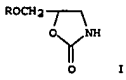
L6 ANSWER 54 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1976:446638 CAPLUS
DOCUMENT NUMBER: 85:46638
TITLE: Oxazolidinones
INVENTOR(S): Ripa, Richard
PATENT ASSIGNEE(S): Institut National de la Sante et de la Recherche Medicale, Fr.
SOURCE: Ger. Offen., 13 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2535023	A1	19760304	DE 1975-2535023	19750806
FR 2281114	A1	19760305	FR 1974-27702	19740809
BE 832274	A1	19760209	BE 1975-159069	19750808
JP 51043757	A	19760414	JP 1975-97125	19750808
GB 1471809	A	19770427	GB 1975-33164	19750808
CH 595344	A5	19780215	CH 1975-10393	19750808

PRIORITY APPLN. INFO.: FR 1974-27702 A 19740809

GI



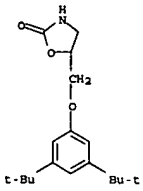
I

AB Oxazolidinones [I, R = Ph, o-, m, p-Me3CC6H4, 2,4-, 2,5-, 3,5-(Me3C)2C6H3, 2-allyl-4-, 5-, 6-tert-butylphenyl], useful as sedatives, muscle relaxants, and anxiolytics, were obtained by cyclization of urea with the corresponding phenoxyepoxypropane.

IT 55143-23-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and pharmacol. activity of)

RN 55143-23-2 CAPLUS
CN 2-Oxazolidinone, 5-[[3,5-bis(1,1-dimethylethyl)phenoxy]methyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 54 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



L6 ANSWER 55 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN

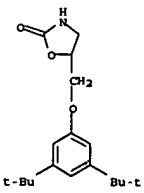
ACCESSION NUMBER: 1975:400259 CAPLUS
DOCUMENT NUMBER: 83:259
TITLE: Anxiolytic activity derived from a myorelaxant structure. tert-Butylphenol derivatives
AUTHOR(S): Auzou, Gilles; Ripa, Richard; Derappe, Christian; Peyroux, Jacques
CORPORATE SOURCE: Unite Pharmacol. Chim., INSERM, Paris, Fr.
SOURCE: European Journal of Medicinal Chemistry (1974), 9(5), 548-54
CODEN: EJMCA5; ISSN: 0223-5234
DOCUMENT TYPE: Journal
LANGUAGE: French

GI For diagram(s), see printed CA Issue.

AB Twenty-eight tert-Bu substituted phenoxypropanediols (I), phenoxypropanediol epoxides (II), and phenoxymethyloxazolidinones (III), all derived from structures of the classic myorelaxant type, were tested in mice for anxiolytic activity in an attempt to dissociate the latter from myorelaxant activity. Two compds., R 1297 (III: 2-tert-Bu; R1 = H) [55143-18-5] and R 1337 (III: 3-tert-Bu; R1 = CH2CH:CH2) [55143-25-4], were very effective in specific tests for anxiolytic action while being devoid of a myorelaxant effect. They had no sedative activity and were practically devoid of toxicity. Structure-activity relations are discussed, and general synthesis of I-III are given.

IT 55143-23-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and muscle relaxing activity of)

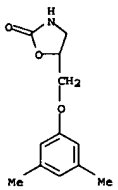
RN 55143-23-2 CAPLUS
CN 2-Oxazolidinone, 5-[[3,5-bis(1,1-dimethylethyl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 56 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1973:20197 CAPLUS
DOCUMENT NUMBER: 78:20197
TITLE: Dimethylisosorbide solvent for muscle relaxant drugs
INVENTOR(S): Beauchamp, Robert Owens, Jr.; Ward, John Wesley; Franko, Bernard Vincent
PATENT ASSIGNEE(S): A. H. Robins Co., Inc.
SOURCE: U.S., 6 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3699230	A	19721017	US 1971-123847	19710312
PRIORITY APPLN. INFO.:			US 1971-123847 A	19710312

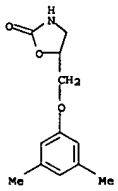
GI For diagram(s), see printed CA Issue.
AB Oral and parenteral pharmaceutical solns. (with additive relaxant properties of the solvent and solute) containing/100 ml 14-40 g of muscle relaxant drugs, e.g. metaxalone, methocarbamol, meprobamate, 1-ethylcarbamoyl-3(3-trifluoromethylphenyl)pyrrolidine, which have limited solubility in H2O, were prepared by dissolving the drugs in DMI (1,4:3,6-dianhydro-2,5-di-O-methylglucitol) (I) or aqueous mixs. thereof, e.g. 75 volume % DMI and 25 volume % H2O. In lab animals, quick muscle relaxant was obtained with no observed toxic effects.
IT 1665-48-1
RL: BIOL (Biological study)
(muscle relaxant, dimethyl isosorbide as solvent for)
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 57 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1968:427431 CAPLUS
DOCUMENT NUMBER: 69:27431
TITLE: 5-Substituted-2-oxazolidones
PATENT ASSIGNEE(S): Henkel und Cie. G.m.b.H.
SOURCE: Fr., 5 pp.
CODEN: FRXXAK
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

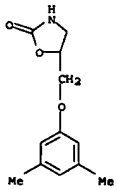
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 1487641		19670707	FR 1970-608	19660723
DE 1545951			DE	
GB 1104773			GB	
US 3446814		19690527	US	19660719
PRIORITY APPLN. INFO.:			DE	19650724

GI For diagram(s), see printed CA Issue.
AB The preparation of 5-substituted-2-oxazolidones, (I), by the reaction of a triglycidylisocyanurate with RXH (R = an aromatic group and X = O or S) was described. Thus, 350 ml. PhCl containing 1 g. KOH, 29.7 g. triglycidylisocyanurate (α:β = 3:1), and 29 g. PhOH was refluxed 40 min. and stripped of solvent and the residue heated with 250 ml. EtOH to give 92% I (R = Ph, X = O), m. 122°. Other I were prepared similarly (R, X, and m.p. given): p-H2NC6H4, O, 183°; m-Me2NC6H4, O, 124°; 3,5-dimethylphenyl, O, 122°, p-ClC6H4, O, 148°; o-MeOC6H4, O, 142°; α-naphythyl, O, 121°; β-naphthyl, O, 190°; Ph, S, 70°; p-MeSC6H4, O, 142°.
IT 1665-48-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 57 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

L6 ANSWER 58 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1967:118797 CAPLUS
DOCUMENT NUMBER: 66:118797
TITLE: Drugs; official names
AUTHOR(S): Anon.
SOURCE: Federal Register (1967), 32, 6187-8, 20 Apr 1967
CODEN: FEREAC; ISSN: 0097-6326
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The following are designated as official names under the Food, Drug, and Cosmetic Act: vincristine, acrisorcin, ampicillin, thioguamine, thiram, metamate, indomethacin, oxazepam, diazepam, polythiazide, cyclothiazide, rotoxamine, oxycodone, methyldopa, iopydol, iopydone, tocamphyl, phentermine, mephenytoin, tropicamide, ethionamide, oxymetholone, trioxsalen, penicillamine, mestranol, tybamate, triamterene, and metaxalone.
IT 1665-48-1
RL: BIOL (Biological study)
(nomenclature of)
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)



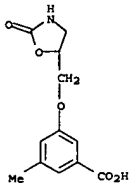
L6 ANSWER 59 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1966:108135 CAPLUS
DOCUMENT NUMBER: 64:108135
ORIGINAL REFERENCE NO.: 64:20426a-b
TITLE: Metabolism of metaxalone
AUTHOR(S): Bruce, Robert B.; Turnbull, Lennox; Newman, Jack; Pitts, Jefferson
CORPORATE SOURCE: Res. Lab., A. H. Robins Co., Inc., Richmond, VA
SOURCE: Journal of Medicinal Chemistry (1966), 9(3), 2868
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The metabolism of metaxalone (I) has been studied in dog and man. The major product is formed by oxidation of one of the methyl groups to yield 5-(3-methyl-5-carboxyphenoxymethyl)-2-oxazolidinone. This also occurs in the urine as the glucuronide. The ether linkage is also cleaved to give 3,5-xylenol and 5-(hydroxymethyl)oxazolidinone. The oxazolidinone ring appears to be stable. In order to identify these metabolites the above acid and its triacetyl β-glucuronide Me ester were synthesized.

IT 5057-74-9, m-Anisic acid, 5-methyl-α-(2-oxo-5-oxazolidinyl)-
16124-20-2, Glucuronic acid, 1-[5-methyl-α-(2-oxo-5-oxazolidinyl)-m-anisate], β-D- 757233-34-4, Glucuronic acid, methyl ester, triacetate, 1-[5-methyl-α-(2-oxo-5-oxazolidinyl)-m-anisate], β-D-
(as metaxalone metabolite)

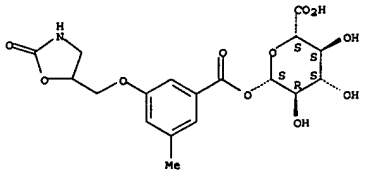
RN 5057-74-9 CAPLUS
CN Benzoic acid, 3-methyl-5-[(2-oxo-5-oxazolidinyl)methoxy]- (9CI) (CA INDEX NAME)



RN 16124-20-2 CAPLUS
CN Glucuronic acid, 1-[5-methyl-α-(2-oxo-5-oxazolidinyl)-m-anisate], β-D- (8CI) (CA INDEX NAME)

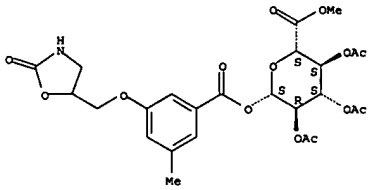
Absolute stereochemistry.

L6 ANSWER 59 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 757233-34-4 CAPLUS
CN Glucuronic acid, methyl ester, triacetate, 1-[5-methyl-α-(2-oxo-5-oxazolidinyl)-m-anisate], β-D- (7CI) (CA INDEX NAME)

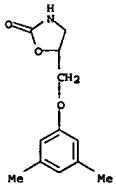
Absolute stereochemistry.



IT 1665-48-1, 2-Oxazolidinone, 5-[(3,5-xylyloxy)methyl]-
(metabolism of)

RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)

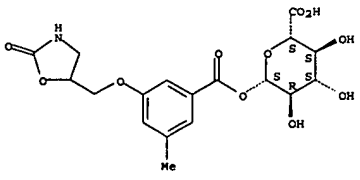
L6 ANSWER 59 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



IT 16124-20-2P, m-Anisic acid, 5-methyl-α-(2-oxo-5-oxazolidinyl)-, 1-ester with β-D-glucuronic acid 757233-34-4P, m-Anisic acid, 5-methyl-α-(2-oxo-5-oxazolidinyl)-, 1-ester with β-D-glucuronic acid, Me ester, triacetate
RL: PREP (Preparation)
(preparation of)

RN 16124-20-2 CAPLUS
CN Glucuronic acid, 1-[5-methyl-α-(2-oxo-5-oxazolidinyl)-m-anisate], β-D- (8CI) (CA INDEX NAME)

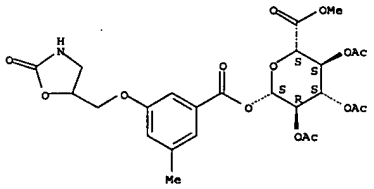
Absolute stereochemistry.



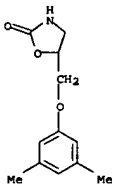
RN 757233-34-4 CAPLUS
CN Glucuronic acid, methyl ester, triacetate, 1-[5-methyl-α-(2-oxo-5-oxazolidinyl)-m-anisate], β-D- (7CI) (CA INDEX NAME)

Absolute stereochemistry.

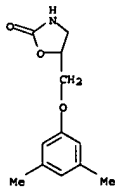
L6 ANSWER 59 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



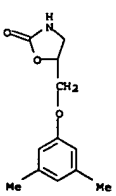
L6 ANSWER 60 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1966:2847 CAPLUS
DOCUMENT NUMBER: 64:2847
ORIGINAL REFERENCE NO.: 64:448c-e
TITLE: Rare arsenate minerals with special consideration of occurrences in the Black Forest
AUTHOR(S): Walenta, Kurt
CORPORATE SOURCE: Tech. Hochsch., Stuttgart, Germany
SOURCE: Mineral. Petrog. Mitt. (1964), 9(1-2), 111-74
DOCUMENT TYPE: Journal
LANGUAGE: German
AB In this 1st section of the 1st part of an extended account of rare mineral arsenates, synthetic and natural uranyl arsenates of Cu, Mg, Co, and Fe are considered. Occurrence, morphol., optical properties, cell dimensions, dehydration and rehydration expts., and indexed x-ray powder diffraction patterns are reported. The minerals and their synthetic counterparts are: zeunerite Cu(UO2)2(AsO4)2.12H2O and meta-zeunerite Cu(UO2)2(AsO4)2.8H2O; novacekite I Mg(UO2)2(AsO4)2.12H2O, novacekite II Mg(UO2)2(AsO4)2.10H2O, and meta-novacekite Mg(UO2)2(AsO4)2.8H2O; kirchheimerite Co(UO2)2(AsO4)2.12H2O and metakirchheimerite Co(UO2)2(AsO4)2.8H2O; kahlerite Fe(UO2)2(AsO4)2.12H2O and metakahlerite Fe(UO2)2(AsO4)2.8H2O. The more highly hydrated forms of the Co and Fe compds. are known only as synthetic products. The Mg, Co, and Fe compds. form a group of structurally, closely related materials, the Mg compound being distinguished by the intermediate hydration state not found in the other 2. The analogous cupric phases are not considered to belong to this group. On the basis of the synthesis of a copious amount of material, the 12-hydrate is found to be the highest hydrate of the Cu uranyl arsenate and the reported existence of a 16-hydrate is questioned.
IT 1665-48-1, Metaxalone
(of Germany (Black Forest))
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)



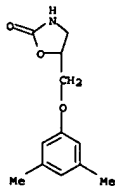
L6 ANSWER 61 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1965:21994 CAPLUS
DOCUMENT NUMBER: 62:21994
ORIGINAL REFERENCE NO.: 62:3887d
TITLE: Qualitative and quantitative tests for metaxalone
AUTHOR(S): Anon.
CORPORATE SOURCE: Am. Pharm. Assoc. Found., Washington, DC
SOURCE: Journal of Pharmaceutical Sciences (1964), 53(12), 1522-3
CODEN: JPMSAE; ISSN: 0022-3549
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A provisional, unofficial monograph for identity tests and assay procedures with purity and assay limits for metaxalone and its dosage forms.
IT 1665-48-1, Metaxalone
(Qual. and quant. tests for)
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)



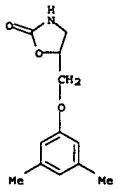
L6 ANSWER 62 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1965:21993 CAPLUS
DOCUMENT NUMBER: 62:21993
ORIGINAL REFERENCE NO.: 62:3887c-d
TITLE: Identification and determination of nialamide
AUTHOR(S): Cavicchi, G. Sandri; Quaglio, M. P.
CORPORATE SOURCE: Univ. Ferrara, Italy
SOURCE: Bollettino Chimico Farmaceutico (1964), 103(9), 660-4
CODEN: BCFAAI; ISSN: 0006-6648
DOCUMENT TYPE: Journal
LANGUAGE: Italian
AB Nialamide in HCl was mixed with concentrated aqueous CuCl2 to give N and N-benzyl-β-chloropropionamide (I), m. 93-4° (H2O). Similarly, CuBr2 gave the Br analog of I, m. 102-3°. Nialamide in HBr was mixed with BiBr3 in HBr, and a pale yellow compound (BiBr3.2HBr.C16H18N4O2.2H2O) was formed. A red precipitate (H2PtBr6.C16H18N4O2.H2O) was formed by using H2PtBr6. A yellow compound (H2Pt(SCN)6.C16H18N4O2) was formed by using H2Pt(SCN)6. A reduction method was used to determine nialamide in drugs. Nialamide in oxalic acid solution was mixed with NH4 molybdate in oxalic acid solution (pH 3) to give a red color due to NH4 molybdooxalate. The color was stable and a colorimetric determination was possible. An iodometric method is also described. 16 references.
IT 1665-48-1, 2-Oxazolidinone, 5-[(3,5-xylyloxy)methyl]-
(determination of, in pharmaceuticals)
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 63 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1964:483389 CAPLUS
DOCUMENT NUMBER: 61:83389
ORIGINAL REFERENCE NO.: 61:14467c
TITLE: Infrared spectra of some compounds of pharmaceutical interest
AUTHOR(S): Sammul, Oscar R.; Brannon, Wilson L.; Hayden, Alma L.
CORPORATE SOURCE: Food & Drug Admin., Washington, DC
SOURCE: Journal of the Association of Official Agricultural Chemists (1964), 47(5), 918-91
CODEN: JOACAZ; ISSN: 0095-9111
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB IR absorption spectra of new and nonofficial drugs, U.S.P. and N.F. items, solvents, and reagents are presented to supplement previously published spectra of U.S.P. and N.F. Reference Stds. (CA 58, 3823g).
IT 1665-48-1, 2-Oxazolidinone, 5-[(3,5-xylyloxy)methyl]-
(spectrum of)
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)



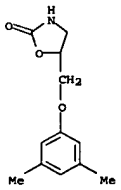
L6 ANSWER 64 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1964:34168 CAPLUS
DOCUMENT NUMBER: 60:34168
ORIGINAL REFERENCE NO.: 60:6112c
TITLE: An evaluation of Skelaxin
AUTHOR(S): Minyard, Annie; Ferrell, Jack; Guerra, Francisco J.; Pair, Douglas B.
CORPORATE SOURCE: Univ. of Texas, Austin
SOURCE: Texas Journal of Pharmacy (1963), 4(4), 346-7
CODEN: TJPHAU; ISSN: 0495-3185
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB An evaln. of Skelaxin, 5-(3,5-dimethylphenoxymethyl)-2-oxazolidinone, as a skeletal muscle relaxant is presented.
IT 1665-48-1, 2-Oxazolidinone, 5-[(3,5-xylyloxy)methyl]- (as muscle relaxant)
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 65 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1963:455011 CAPLUS
DOCUMENT NUMBER: 59:55011
ORIGINAL REFERENCE NO.: 59:10058e-g
TITLE: 5-Aryloxymethyl-2-oxazolidinones
INVENTOR(S): Markley, Francis X.; Horton, Robert L.; Weinberger, Kenneth A.
PATENT ASSIGNEE(S): American Cyanamid Co.
SOURCE: 14 pp.; Addn. to Fr. 1,284,520.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 80104		19630322	FR	
PRIORITY APPLN. INFO.:			US	19600722

GI For diagram(s), see printed CA Issue.
AB Urethanes of the general formula H2NCO2R, where R is a lower alkyl group, are treated with 3-aryloxy-1,2-epoxypropanes in the presence of a Li base, tertiary amine, or a betaine to give the title compds. Thus, 180 parts 1,2-epoxy-3-(o-methoxyphenoxy)propane is added to a mixture of 107 parts urethane and 0.6 part LiNH2 at 160° in 35 min., the mixture is agitated for 30 min. at 165°, the mixture is cooled to 100°, and 145 trichlorobenzene is added. The mixture is extracted 3 times with 2000 parts boiling H2O, the aqueous exts. are cooled, and the ppts. that form in the exts. are filtered off; recrystn. in hot H2O gives 5-(o-methoxyphenoxy)methyl-2-oxazolidinone (I, R = o-MeOC6H4), m. 141.4-1.9°. Similarly prepared are I (R and m.p. given): 3,5-Me2C6H3, -; o-ClC6H4, 146.9-51° (Et-OAc).
IT 1665-48-1P, 2-Oxazolidinone, 5-[(3,5-xylyloxy)methyl]-
RL: PREP (Preparation)
(preparation of)
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)



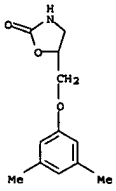
L6 ANSWER 65 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

L6 ANSWER 66 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1962:410880 CAPLUS
DOCUMENT NUMBER: 57:10880
ORIGINAL REFERENCE NO.: 57:2227e-g
TITLE: 5-(Polysubstituted phenoxymethyl)-2-oxazolidones
PATENT ASSIGNEE(S): A. H. Robins Co., Inc.
SOURCE: 5 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

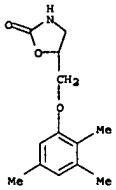
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 888594		19620131	GB 1959-28392	19590819
DE 1163328			DE	
FR 1368707			FR	
US 3062827		19621106	US 1959-821357	19590619
PRIORITY APPLN. INFO.:			US	19590619

AB The title compds, were prepared by treating a 3-phenoxy-1,2-propanediol with urea (I) or alternatively, a 3-phenoxy-1-halo-2-propanol with I. Thus, 118 g. I was added to 192 g. 3-(3,5-dimethylphenoxy)-1,2-propanediol at 150° and the mixture stirred 5 hrs. at 195-200° to give 79% 5-(3,5-dimethylphenoxymethyl)-2 oxazolidone, m. 121.5-23°. Similarly prepared were 5-(2,8,5-trimethylphenoxymethyl)-2-oxazolidone, 60%, m. 125-6°, 5-(3,4,5-trimethoxyphenoxymethyl)-2-oxazolidone, m. 129-32% 5-(3,5-dimethoxyphenoxymethyl)-2-oxazolidone, m. 124-25°. A mixture of 75.4 g. 3-(3,5-dimethylphenoxy)-2-hydroxypropyl chloride, 45.1 g. ethylamine, and 50 ml. absolute ale. was heated 16 hrs. hermetically at 100° to give 61.5% 1-ethylamino-3-(3,5-dimethylphenoxy)-2-propanol (II), b0.1 147-52° m. 90-1°. To 42.5 g. II and 22.4 g. ethyl carbonate in 200 ml. isooctane was added 0.1 g. sodium and the mixture stirred 2 hrs. at 95-100° to give 42% 5-(3,5-dimethylphenoxymethyl) - 3 - ethyl - 2 - oxazolidone, m. 71-2°. Some compds. showed anticonvulsant activity.
IT 1665-48-1P, 2-Oxazolidinone, 5-[(3,5-xylyloxy)methyl]-
92041-76-4P, 2-Oxazolidinone, 5-[(2,3,5-trimethylphenoxy)methyl]-
RL: PREP (Preparation)
(preparation of)
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)

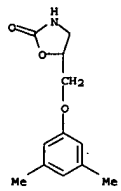
L6 ANSWER 66 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



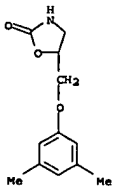
RN 92041-76-4 CAPLUS
CN 2-Oxazolidinone, 5-[(2,3,5-trimethylphenoxy)methyl]- (6CI, 7CI) (CA INDEX NAME)



L6 ANSWER 67 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1961:107167 CAPLUS
DOCUMENT NUMBER: 55:107167
ORIGINAL REFERENCE NO.: 55:20184i,20185a
TITLE: The pharmacology of a new oxazolidinone with anticonvulsant, analgetic, and muscle relaxant properties
AUTHOR(S): Carroll, Marcus N., Jr.; Luten, Wiley R.; Southward, Ronald W.
CORPORATE SOURCE: A. H. Robins Biol. Research Labs., Richmond, VA
SOURCE: Archives Internationales de Pharmacodynamie et de Therapie (1961), 130, 280-98
CODEN: AIPTAK; ISSN: 0003-9780
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Metaxalone [5-(3,5-dimethylphenoxymethyl)-2-oxazolidinone] (I) antagonizes the tonic extensor phase of elec. induced convulsions and blocks the convulsive and lethal effects of strychnine; it is ineffective against pentamethylenetetrazole-induced seizures. I blocks polysynaptic reflexes and is unique in blocking the crossed extensor reflex. I is an effective, long acting muscle relaxant at doses which do not alter normal position or gait in unanesthetized animals. I does not antagonize Tremorine(1,4-dipyrrolidino-2-butyne) induced tremor.
IT 1665-48-1, Metaxalone
(analgesic and antispasmodic action of)
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 68 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1961:107166 CAPLUS
DOCUMENT NUMBER: 55:107166
ORIGINAL REFERENCE NO.: 55:20184g-i
TITLE: Central component of vasomotor activity of yohimbine and its stereo-isomer rauwolscine
AUTHOR(S): Tangri, K. K.; Bhargava, K. P.
CORPORATE SOURCE: Univ. Lucknow, India
SOURCE: Archives Internationales de Pharmacodynamie et de Therapie (1961), 130, 266-79
CODEN: AIPTAK; ISSN: 0003-9780
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Doses of yohimbine (I) insufficient to produce a peripheral adrenergic blocking action, are shown to have a direct action in blocking the carotid sinus receptors and depressing the medullary vasomotor center. Rauwolscine (II) is a more potent adrenergic blocking agent than I. An independant central action of II could be shown by intracerebroventricular injection of the drug, producing hypotension and blockade of afferent vagal and carotid occlusion pressor responses.
IT 1665-48-1, Metaxalone
(analgesic and antispasmodic action of)
RN 1665-48-1 CAPLUS
CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 69 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1960:62678 CAPLUS
DOCUMENT NUMBER: 54:62678
ORIGINAL REFERENCE NO.: 54:12109b-i,12110a-e
TITLE: 5-Aryloxymethyl-2-oxazolidinones
AUTHOR(S): Lunsford, Carl D.; Mays, Richard P.; Richman, John A., Jr.; Murphey, Robert S.
CORPORATE SOURCE: A. H. Robins Co., Inc., Richmond, VA
SOURCE: Journal of the American Chemical Society (1960), 82, 1166-71
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 54:62678
AB 5-(o-Methoxyphenoxymethyl)-2-oxazolidinone (I) was obtained by fusion of o-MeOC6H4OCH2CH(OH)CH2OH (II) at 180-200° with 2 mole equivs. urea or by fusion of o-MeOC6H4OCH2CH(OH)CH2OCONH2 (III) with 1 mole equivalent urea. A series of 25 5-aryloxymethyl-2-oxazolidinones (IV) was prepared by the 1st method for pharmacol. testing. A sequence of reactions by which the oxazolidinone ring was formed under these conditions was investigated and the reactions discussed. A series of 19 N-substituted IV was prepared by condensation of 1-amino-2-aryloxy-2-propanols with (EtO)2CO or COCl2. II (39.6 g.) and 24 g. urea heated rapidly to 180-200°, heated 5 hrs. at 180-200°, poured into 200 cc. H2O, extracted with CHCl3, and the extract filtered and distilled gave a small amount of cyclic carbonate (V) of II, m. 60.5-61° (MeOH), and 30 g. I, b0.1 220-5°, m. 143-5° (95% EtOH). Similarly were prepared the following 5-(substituted-aryloxymethyl)-2-oxazolidinones (substituent, m.p., and % yield given): H, 120.5-22° (EtOAc), 49; o-Me, 124.5-5.5° (MeOH), 94; m-Me, 102-3° (EtOAc) (b0.35 225-40°), 54; p-Me, 131-1.5° (EtOAc), 58; o-MeO, 143-5° (95% EtOH), 67; m-MeO, 125-6.5° (EtOAc), 48; p-MeO, 135-6° (EtOAc), 50; p-BuO, 139.5-41.5° (EtOAc), 45; o-BuO, 62-3° (EtOAc) (b0.1 235-55°), 71; o-Cl, 147-8° (EtOAc), 48; m-Cl, 96.5-7.5° (EtOAc), 76; p-Cl, 143.5-46° (EtOAc), 59; p-Br (VI), 153-4° (EtOAc), 47; o-OH, 84-6° (EtOAc), 20; 3,4-di-Me, 116-17° (EtOAc), 37; 3,5-di-Me, 121.5-23° (b1.5 220-5°), 79; 2,6-di-Me, 104-5° (EtOAc)(b0.35 230-5°), 74; 2,6-di-MeO, 117.5-18.5° (EtOAc), 52; 3,5-di-MeO, 124-5° (EtOAc) (b0.15 245-57°), 75; 2,4-di-Cl, 128-30° (EtOAc), 42; 5-chloro-2-methyl, 104-4.5° (EtOAc), 57; 3-chloro-2-methyl, 124-5.5° (EtOAc), 35; 4-chloro-3-methyl, 135-7° (EtOAc), 36; 2,3,5-tri-Me, 135-6° (EtOAc), 60; 3,4,5-tri-MeO, 129-32° (EtOAc)(b0.15 265-80°), 60. p-MeOC6H4OCH2CH(OH)CH2NHEt (45.0 g.) and 19.4 g. (EtO)2CO in 200 cc. isooctane treated with 0.1 g. Na, heated 0.5 hr. with stirring at 95-100° with the removal of the EtOH-isooctane azeotrope, and filtered yielded 48.4 g. 3-Et derivative of VI, m. 122.5°. Similarly were prepared the following 5-(substituted-aryloxymethyl)-2-oxazolidinones (substituent, m.p., and % yield given): H, 43-4° (iso-Pr2O)(b0.15 182-5°), 77; o-Me, 50-50.5° (iso-Pr2O), 59; m-Me, 50-1° (iso-Pr2O), 40; p-Me, 90-1° (iso-Pr2O), 52; p-MeO, 80-1° (iso-Pr2O), 56; 3,5-di-Me, 71-2° (iso-Pr2O) (b0.08 204-5°), 73; 3,4-di-Cl, - (b0.2 215-20°), 20; 3-chloro-2-methyl, 115-16° (iso-Pr2O), 85; 4-chloro-3-methyl, 94-4.5° (isooctane), 82; 5-chloro-2-methyl,

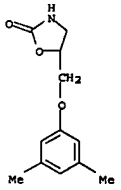
L6 ANSWER 69 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
77° (iso-Pr2O), 45; 2,3,5-tri-Me, 154-5° (EtOAc), 14.
Similarly were prepd. the following 2-alkyl-5-(o-methoxyphenoxymethyl)-2-oxazolidinones (2-alkyl group, m.p., and % yield given): Me, 77.5-8.5° (iso-Pr2O)(b0.08 180-95°), 73; iso-Pr, 76-8° (iso-Pr2O), 28; Bu, - (b0.03 186-8°), 76; cyclohexyl, 68-9° (isooctane-Et2O), 84; PhCH2, 59-9.5° (isooctane-Et2O), 70. COCl2 (0.99 g.) contg. 3 mc. C14OCl2 in 9 cc. CHCl3 added with cooling to 1.97 g. o-MeOC6H4OCH2CH(OH)CH2NH2 (VII) at 5° with stirring during 0.5 hr., the mixt. stirred 1 hr. at 30°, cooled to 5°, treated dropwise 15 min. with 1.58 g. C5H5N in 10 cc. CHCl3, stirred 3 hrs. at 30°, washed, dried, concd. to about 15 cc., and dild. with about 30 cc. petr. ether gave 0.435 g. 5-(o-methoxyphenoxymethyl)-2-oxazolidinone-2-C14, m. 141.5-42°, 1.25 mc. C14. III (24.1 g.) and 6.0 g. urea heated 5 hrs. at 180-200° gave 18.3 g. I, m. 141-3° (H2O and 95% EtOH). VII (4.93 g.) and 1.50 g. heated 5 hrs. at 180-200° gave 3.8 g. I. o-MeOC6H4OCH2CH(OH)CH2NHCONH2 (1.2 g.) heated 4.5 hrs. at 185-200° and crystd. from 95% EtOH gave 0.8 g. I. o-MeOC6H4OCH2CH(OH)CH2O2CNMe2 (VII) (31.9 g.) heated 5 hrs. at 190-200°, the mixt. partitioned between 50 cc. C6H6 and 50 cc. H2O, the C6H6 layer washed with ten 25-cc. portions H2O and extd. with 6N HCl, the acidic ext. basified, and the product isolated with C6H6 gave 8.05 g. II, m. 78-8.5° (CCl4); the original C6H6 layer worked up gave 7.9 g. o-MeOC6H4OCH2CH(OH)CH2NMe2, b0.08 120-5°. III (12.0 g.) pyrolyzed in the usual manner yielded 4.3 g. II, m. 70.5-77°, and 1.1 g. I, m. 140-2.5°. I (101.0 g.) and 45.2 g. NaOH in 300 cc. H2O and 600 cc. 95% EtOH refluxed 24 hrs., filtered, concd. in vacuo, the residue dissolved in MeOH, the soln. acidified with HCl-Et2O, some of the MeOH replaced with boiling EtCOMe, and the soln. cooled gave 87.2 g. VII.HCl, m. 172-3.5°. VII.HCl in H2O treated with aq. Na2CO3 pptd. VII, m. 107-8.5° (MeOH-iso-Pr2O). LiAlH4 (37.9 g.) in 200 cc. dry Et2O and 800 cc. dry tetrahydrofuran refluxed 1.5 hrs. with stirring, treated portionwise with 112 g. I, refluxed 2 hrs., and worked up gave 56 g. N-Me deriv. (VIII) of VII, needles, m. 77.5-78°. VIII in EtCOMe treated with Et2O-HCl gave VIII.HCl, m. 115-16° (EtAc-MeOH). m-MeOC6H4OCH2CH(OH)CH2Cl (56 g.) and 34 g. MeNH2 in 500 cc. abs. EtOH heated 22 hrs. in a sealed bottle in a steam bath and distd. gave 23 g. VIII, m. 78-9° (iso-Pr2O). Similarly were prepd. the following RC6H4OCH2CH(OH)CH2NHEt (R, m.p., and % yield given): o-Me, 85-7° (isooctane), 41; m-Me, 70.5-1.5° (iso-Pr2O), 52; p-Me, 75-6° (isooctane), 30; p-Cl, 93-3.5° (iso-Pr2O), 39; p-Br, 98-9° (iso-Pr2O), 39; 3,5-di-Me, 95.5-96° (iso-Pr2O), 64; 2,4-di-Cl, 130-1° (iso-Pr2O), 95; 3-chloro-2-methyl, 98-8.5° (iso-Pr2O), 21; 4-chloro-3-methyl, 95.5-96° (iso-Pr2O), 28; 5-chloro-2-methyl, 114.5-15° (iso-Pr2O), 27; 2,3,5-tri-Me, 121-2° (isooctane), 33. II (54.5 g.) and 27.2 g. COCl2 in about 500 cc. dry C6H6 stirred 2 hrs. at 5-10°, treated dropwise with stirring at 5-10° with 43.6 g. C5H5N, stirred 15 hrs., dild. with about 200 cc. H2O, and the C6H6 layer worked up gave 33.5 g. V, b0.04 172-8°, m. 66.5-7.5° (95% EtOH). COCl2 (98 g.) in 200 cc. cold C6H6 added dropwise with stirring to 198 g. II, stirred 3 hrs., cooled to 10°, treated with 79 g. C5H5N in portions below 30°, stirred 0.5 hr., washed with iced H2O, added

L6 ANSWER 69 OF 69 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
with stirring and cooling to cold satd. aq. Me2NH, stirred 6 hrs., and the C6H6 layer worked up gave 222 g. VII, b0.1 172-8°. KOCN (10.3 g.) in 25 cc. H2O added to 25 g. VII and 12 cc. concd. HCl in 100 cc. H2O, warmed to 50° 10 min., cooled 1 hr. to 0°, and filtered yielded 28 g. o-MeOC6H4OCH2CH(OH)CH2NHCONH2, m. 129.5-30.5° (abs. EtOH).

IT 1665-48-1P, 2-Oxazolidinone, 5-[3,5-xylyloxymethyl]-
92041-76-4P, 2-Oxazolidinone, 5-[(2,3,5-trimethylphenoxy)methyl]-
RL: PREP (Preparation)
(preparation of)

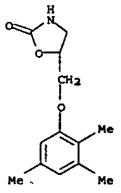
RN 1665-48-1 CAPLUS

CN 2-Oxazolidinone, 5-[(3,5-dimethylphenoxy)methyl]- (9CI) (CA INDEX NAME)



RN 92041-76-4 CAPLUS

CN 2-Oxazolidinone, 5-[(2,3,5-trimethylphenoxy)methyl]- (6CI, 7CI) (CA INDEX NAME)



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=> logoff
ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF
LOGOFF? (Y)/N/HOLD:y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	377.96	552.07

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-54.60	-54.60

STN INTERNATIONAL LOGOFF AT 16:12:55 ON 04 FEB 2007

SAEED